(FILE 'HOME' ENTERED AT 16:21:22 ON 31 OCT 2006)

FILE 'CAPLUS' ENTERED AT 16:21:28 ON 31 OCT 2006 E US2005-531618/APPS

L1 1 SEA ABB=ON PLU=ON US2005-531618/AP

D SCAN SEL RN L1

FILE 'REGISTRY' ENTERED AT 16:21:48 ON 31 OCT 2006

L2 4 SEA ABB=ON PLU=ON (478162-78-6/BI OR 781651-20-5/BI OR

781651-21-6/BI OR 9004-10-8/BI)

D SCAN

L3 STRUCTURE UPLOADED

L4 0 SEA SSS SAM L3

FILE 'STNGUIDE' ENTERED AT 16:22:19 ON 31 OCT 2006

FILE 'REGISTRY' ENTERED AT 16:28:30 ON 31 OCT 2006

L5 STRUCTURE UPLOADED

D QUE L5

L6 0 SEA SSS SAM L5

FILE 'STNGUIDE' ENTERED AT 16:29:21 ON 31 OCT 2006

FILE 'REGISTRY' ENTERED AT 16:29:41 ON 31 OCT 2006

L7 STRUCTURE UPLOADED

L8 18 SEA SSS SAM L7

L9 STRUCTURE UPLOADED

L10 11 SEA SSS SAM L9

L11 0 SEA SSS SAM L5

D QUE L5

L12 11 SEA SSS SAM L9

FILE 'STNGUIDE' ENTERED AT 16:31:02 ON 31 OCT 2006

FILE 'REGISTRY' ENTERED AT 16:31:22 ON 31 OCT 2006

L13 STRUCTURE UPLOADED

L14 11 SEA SSS SAM L13

FILE 'STNGUIDE' ENTERED AT 16:31:44 ON 31 OCT 2006

FILE 'REGISTRY' ENTERED AT 16:32:06 ON 31 OCT 2006

L15 STRUCTURE UPLOADED

L16 0 SEA SSS SAM L15

D QUE L5

L17 2 SEA SSS FUL L5

D SCAN

L18 2 SEA ABB=ON PLU=ON L2 AND L17

L19 2 SEA ABB=ON PLU=ON L2 NOT L17

D SCAN

D QUE L5

D SCAN L18

FILE 'HCAPLUS' ENTERED AT 16:34:34 ON 31 OCT 2006

L20 1 SEA ABB=ON PLU=ON L17

FILE 'MEDLINE, EMBASE, BIOSIS, CAOLD' ENTERED AT 16:34:48 ON 31 OCT 2006

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O SEA ABB=ON PLU=ON L17
L21
    FILE 'BEILSTEIN' ENTERED AT 16:34:56 ON 31 OCT 2006
L22
           O SEA SSS FUL L5
    FILE 'MARPAT' ENTERED AT 16:35:16 ON 31 OCT 2006
L23
           96 SEA SSS FUL L5
    FILE 'STNGUIDE' ENTERED AT 16:37:04 ON 31 OCT 2006
    FILE 'MARPAT' ENTERED AT 16:37:59 ON 31 OCT 2006
               STRUCTURE UPLOADED
L24
             1 SEA SSS SAM L24
L25
             2 SEA SSS SAM L5
L26
            1 SEA SSS SAM L24
L27
            3 SEA SUB=L23 SSS SAM L24
L28
L29
            31 SEA SUB=L23 SSS FUL L24
L30
            27 SEA ABB=ON PLU=ON L29/COM
L31
            26 SEA ABB=ON PLU=ON L30 NOT L20
    FILE 'WPIX' ENTERED AT 16:42:33 ON 31 OCT 2006
             O SEA SSS SAM L5
L32
             1 SEA SSS FUL L5
L33
             1 SEA ABB=ON PLU=ON L33/DCR
               SEL SDCN L33
               EDIT E5 SDCN DCN
L*** DEL
            10 S CE5
               SEL SDCN L33
               EDIT E6 SDCN DCN
             1 SEA ABB=ON PLU=ON RAFW5L/DCN
L35
               SEL DCSE L33
               EDIT E7 DCSE DCRE
             0 SEA ABB=ON PLU=ON 981483-0-0-0/DCRE
L36
             2 SEA ABB=ON PLU=ON (L33 OR L34 OR L35)
L37
             1 SEA ABB=ON PLU=ON (L34 OR L35)
L38
    FILE 'HCAPLUS' ENTERED AT 16:45:24 ON 31 OCT 2006
               E HODGE K/AU
            12 SEA ABB=ON PLU=ON ("HODGE K"/AU OR "HODGE KIRVIN L"/AU)
L39
               E SHARMA S/AU
               E SHARMA S/AU
          3397 SEA ABB=ON PLU=ON ("SHARMA S"/AU OR "SHARMA S A"/AU OR
L40
               "SHARMA S A N"/AU OR "SHARMA S AMITA"/AU OR "SHARMA S B"/AU OR
               "SHARMA S C"/AU OR "SHARMA S C L"/AU OR "SHARMA S CHIDANANDA"/A
               U OR "SHARMA S D"/AU OR "SHARMA S D GURUMAYUM"/AU OR "SHARMA S
               DAS"/AU OR "SHARMA S G"/AU OR "SHARMA S H K"/AU OR "SHARMA S
               J"/AU OR "SHARMA S K"/AU OR "SHARMA S KUMAR"/AU OR "SHARMA S
               L"/AU OR "SHARMA S M"/AU OR "SHARMA S N"/AU OR "SHARMA S P"/AU
               OR "SHARMA S R"/AU OR "SHARMA S RAMA GOPAL"/AU OR "SHARMA S
               S"/AU OR "SHARMA S SEN"/AU OR "SHARMA S SHELLEY"/AU OR "SHARMA
               S SHELLY"/AU OR "SHARMA S V"/AU)
               E SHARMA SHA/AU
L41
            38 SEA ABB=ON PLU=ON "SHARMA SHALINI"/AU
          3434 SEA ABB=ON PLU=ON (L40 OR L41)
L42
               E VON BORSTEL/AU
            51 SEA ABB=ON PLU=ON ("VON BORSTEL R"/AU OR "VON BORSTEL
L43
               REID"/AU OR "VON BORSTEL REID W"/AU OR "VON BORSTEL REID
               WARREN"/AU)
               E VONBORSTEL/AU
             2 SEA ABB=ON PLU=ON "VONBORSTEL REID W"/AU
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L44

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53 SEA ABB=ON PLU=ON (L43 OR L44)
L45
               E WOLPE S/AU
            34 SEA ABB=ON PLU=ON ("WOLPE S"/AU OR "WOLPE S D"/AU OR "WOLPE
L46
               STEPHEN"/AU OR "WOLPE STEPHEN D"/AU OR "WOLPE STEVE D"/AU OR
               "WOLPE STEVE S"/AU OR "WOLPE STEVEN"/AU)
             7 SEA ABB=ON PLU=ON (L39 AND (L42 OR L45 OR L46)) OR (L42 AND
L47
               (L45 OR L46)) OR (L45 AND L46)
             1 SEA ABB=ON PLU=ON (L20 OR L1)
L48
               D QUE L17
    FILE 'REGISTRY' ENTERED AT 16:49:28 ON 31 OCT 2006
               D SCAN L19
             1 SEA ABB=ON PLU=ON L19 AND C19H20O4/MF
L49
               D RN
     FILE 'HCAPLUS' ENTERED AT 16:50:17 ON 31 OCT 2006
      3 SEA ABB=ON PLU=ON L49
L50
       112876 SEA ABB=ON PLU=ON L2
L51
     FILE 'REGISTRY' ENTERED AT 16:50:51 ON 31 OCT 2006
            2 SEA ABB=ON PLU=ON L2 AND L17
L52
             3 SEA ABB=ON PLU=ON (L52 OR L49)
L53
               D SCAN
    FILE 'HCAPLUS' ENTERED AT 16:51:16 ON 31 OCT 2006
           3 SEA ABB=ON PLU=ON L53
L54
            3 SEA ABB=ON PLU=ON (L54 OR L50 OR L20)
L55
     FILE 'MEDLINE, EMBASE, BIOSIS, CAOLD' ENTERED AT 16:51:47 ON 31 OCT 2006
      O SEA ABB=ON PLU=ON L53
L56
    FILE 'HCAPLUS' ENTERED AT 16:52:13 ON 31 OCT 2006
              D QUE L47
               D IBIB ABS L47 TOT
               D OUE L50
               D QUE L55
               D IBIB ABS HITSTR L55 TOT
     FILE 'MARPAT' ENTERED AT 16:53:10 ON 31 OCT 2006
               D QUE L31
               D IBIB ABS QHIT L31 TOT
     FILE 'WPIX' ENTERED AT 16:54:41 ON 31 OCT 2006
               D QUE L38
               D ALL ABEQ TECH L38 TOT
     FILE 'REGISTRY' ENTERED AT 16:56:53 ON 31 OCT 2006
L57
           STRUCTURE UPLOADED
             0 SEA SSS SAM L57
L58
     FILE 'STNGUIDE' ENTERED AT 16:57:09 ON 31 OCT 2006
     FILE 'REGISTRY' ENTERED AT 16:57:38 ON 31 OCT 2006
L59
               STRUCTURE UPLOADED
L60
             0 SEA SSS SAM L59
L61
               STRUCTURE UPLOADED
             0 SEA SSS SAM L61
L62
L63
            2 SEA SSS FUL L61
L64
            2 SEA ABB=ON PLU=ON (L63 OR L17)
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=> file hcaplus FILE 'HCAPLUS' ENTERED AT 16:52:13 ON 31 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 31 Oct 2006 VOL 145 ISS 19 FILE LAST UPDATED: 30 Oct 2006 (20061030/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que 147 12 SEA FILE=HCAPLUS ABB=ON PLU=ON ("HODGE K"/AU OR "HODGE L39 KIRVIN L"/AU) L40 3397 SEA FILE=HCAPLUS ABB=ON PLU=ON ("SHARMA S"/AU OR "SHARMA S A"/AU OR "SHARMA S A N"/AU OR "SHARMA S AMITA"/AU OR "SHARMA S B"/AU OR "SHARMA S C"/AU OR "SHARMA S C L"/AU OR "SHARMA S CHIDANANDA"/AU OR "SHARMA S D"/AU OR "SHARMA S D GURUMAYUM"/AU OR "SHARMA'S DAS"/AU OR "SHARMA'S G"/AU OR "SHARMA'S H K"/AU OR "SHARMA S J"/AU OR "SHARMA S K"/AU OR "SHARMA S KUMAR"/AU OR "SHARMA S L"/AU OR "SHARMA S M"/AU OR "SHARMA S N"/AU OR "SHARMA S P"/AU OR "SHARMA S R"/AU OR "SHARMA S RAMA GOPAL"/AU OR "SHARMA S S"/AU OR "SHARMA S SEN"/AU OR "SHARMA S SHELLEY"/A U OR "SHARMA S SHELLY"/AU OR "SHARMA S V"/AU) L41 38 SEA FILE=HCAPLUS ABB=ON PLU=ON "SHARMA SHALINI"/AU L42 3434 SEA FILE=HCAPLUS ABB=ON PLU=ON (L40 OR L41) L43 51 SEA FILE=HCAPLUS ABB=ON PLU=ON ("VON BORSTEL R"/AU OR "VON BORSTEL REID"/AU OR "VON BORSTEL REID W"/AU OR "VON BORSTEL REID WARREN"/AU) L44 2 SEA FILE=HCAPLUS ABB=ON PLU=ON "VONBORSTEL REID W"/AU 53 SEA FILE=HCAPLUS ABB=ON L45 PLU=ON (L43 OR L44) L46 34 SEA FILE=HCAPLUS ABB=ON PLU=ON ("WOLPE S"/AU OR "WOLPE S D"/AU OR "WOLPE STEPHEN"/AU OR "WOLPE STEPHEN D"/AU OR "WOLPE STEVE D"/AU OR "WOLPE STEVE S"/AU OR "WOLPE STEVEN"/AU) 7 SEA FILE=HCAPLUS ABB=ON PLU=ON (L39 AND (L42 OR L45 OR L46)) L47 OR (L42 AND (L45 OR L46)) OR (L45 AND L46)

=> d ibib abs 147 tot

L47 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2005:177884 HCAPLUS Full-text DOCUMENT NUMBER: 142:279944

Preparation of phenyl thioethers for the treatment of

TITLE:

metabolic disorders

INVENTOR(S):

Sharma, Shalini; Von Borstel, Reid

W.; Hodge, Kirvin L.

PATENT ASSIGNEE(S):

Wellstat Therapeutics Corporation, USA

SOURCE:

PCT Int. Appl., 42 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2005018628	A1 20050303	WO 2004-US26561	20040816
W: AE, AG, AL	AM, AT, AU, AZ,	BA, BB, BG, BR, BW,	BY, BZ, CA, CH,
CN, CO, CR	CU, CZ, DE, DK,	DM, DZ, EC, EE, EG,	ES, FI, GB, GD,
GE, GH, GM,	HR, HU, ID, IL,	IN, IS, JP, KE, KG,	KP, KR, KZ, LC,
LK, LR, LS,	LT, LU, LV, MA,	MD, MG, MK, MN, MW,	MX, MZ, NA, NI,
NO, NZ, OM,	PG, PH, PL, PT,	RO, RU, SC, SD, SE,	SG, SK, SL, SY,
TJ, TM, TN,	TR, TT, TZ, UA,	UG, US, UZ, VC, VN,	YU, ZA, ZM, ZW
RW: BW, GH, GM	KE, LS, MW, MZ,	NA, SD, SL, SZ, TZ,	UG, ZM, ZW, AM,
. AZ, BY, KG	KZ, MD, RU, TJ,	TM, AT, BE, BG, CH,	CY, CZ, DE, DK,
EE, ES, FI	FR, GB, GR, HU,	IE, IT, LU, MC, NL,	PL, PT, RO, SE,
SI, SK, TR	BF, BJ, CF, CG,	CI, CM, GA, GN, GQ,	GW, ML, MR, NE,
SN, TD, TG			
AU 2004266673	A1 20050303	AU 2004-266673	20040816
CA 2533890	AA 20050303	CA 2004-2533890	20040816
EP 1656127	A1 20060517	EP 2004-781277	20040816
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,
IE, SI, FI,	RO, CY, TR, BG,	CZ, EE, HU, PL, SK	
CN 1835743	`A 20060920	CN 2004-80023552	20040816
NO 2006000502	A 20060503	NO 2006-502	20060131
PRIORITY APPLN. INFO.:		US 2003-496533P	P 20030820
•		WO 2004-US26561	W 20040816
OTHER SOURCE(S):	CASREACT 142:27	9944; MARPAT 142:2799	944
GI		•	

The title compds. I [n = 1-2; m, q, t = 0-1; R5 = alkyl; R9 = H, halo, alkyl,AB alkoxy; A = (un)substituted Ph, cycloalkyl, 5-6 membered heteroarom. ring having 1 or 2 ring heteroatoms selected from N, S and O and the heteroarom. ring is covalently bound to the remainder of the compound I by a ring carbon; X = CH2; Q = OR1 and R1 = Me, Et; or X = CH2CR12R13 or CH2CH(NHAc) (wherein R12, R13 = H, Me), Q = OR1 and R1 = H, alkyl; or X = CH2CH2 and Q = NR10R11(wherein one of R10 and R11 = H, alkyl or OH, and the other = H); alternatively, when R1 = H, the biol. active agent can be a pharmaceutically acceptable salt of the compound I], useful for the treatment of various metabolic disorders, such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis are disclosed. E.g., a multi-step synthesis of II, starting from 2,6-dimethylbenzyl alc., was given. The pharmaceutical composition comprising the compound I is also disclosed.

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

1

ACCESSION NUMBER:

2004:995903 HCAPLUS Full-text

DOCUMENT NUMBER:

141:410698

TITLE:

Preparation of α -oxoacid-substituted phenols for

the treatment of metabolic disorders

INVENTOR(S):

Hodge, Kirvin L.; Sharma, Shalini;

Von Borstel, Reid W.

PATENT ASSIGNEE(S):

Wellstat Therapeutics Corporation, USA; Von Borstel,

Reid W.

SOURCE:

PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT						DATE			APPL	ICAT	I NOI	. OV		D	ATE		
	2004 2004	0984	96		A2					WO 2	004-	US12:	141		2	0040	420	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	
		BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	
		ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	
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		TD,	TG															
AU	2004	2376	02		A1		2004	1118		AU 2	004-	2376	02		2	0040	420	
CA	2522	738			AA		2004	1118		CA 2	004-	2522	738		2	0040	420	
EP	1617	835			A2		2006	0125		EP 2	004-	7503	53		2	0040	420	
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
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CN	1780	614			Α		2006	0531		CN 2	004-	8001	1552		2	0040	420	
ORIT	Y APP	LN.	INFO	. :		•				US 2	003-	4666	63P		P 2	0030	430	
												US12						
IER SO	OURCE	(S):			CASI	REAC	T 14	1:41	0698	; MA	RPAT	141	:410	698				

GI

$$A = (CH_2)_p = N_q = (CH_2)_n = 0$$
 R^3
 O
 OR^1

AB Title compds. I [n = 1-2; m = 0-4; q, p = 0-1; R2 = alkyl; R3 = H, halo; A = 1, h(un) substituted Ph, cycloalkyl, etc.; R1 = H, alkyl] are prepared For instance, 2-oxo-2-[3-(2,6-dimethylbenzyloxy)phenyl]acetic acid (II) is prepared by SeO2 oxidation of the corresponding ethanone precursor (prior art). II showed a statistically significant decrease in blood glucose and triglycerides in obese mice compared to control at 60 mg/Kg. I are useful for the treatment of various metabolic disorders, such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

L47 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:927013 HCAPLUS Full-text

DOCUMENT NUMBER:

141:395291

TITLE:

Preparation of benzyloxyphenyl acids and related compounds for the treatment of metabolic disorders

INVENTOR (S):

Hodge, Kirvin L.; Kaufman, Robert J.; Lee,

Albert; Sharma, Shalini; Von Borstel,

Reid W.

PATENT ASSIGNEE(S):

Wellstat Therapeutics Corporation, USA

SOURCE:

PCT Int. Appl., 42 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

	PAT	CENT :	NO.			KIN	D :	DATE			APPL	ICAT:	ION. I	NO.		D	ATE		
	. – - -						-	,								-			
	WO	2004	0938	06		A2		2004	1104	,	WO 2	004-1	US12:	142		2	0040	420	
	WO	2004	0938	06		A3		2005	0407										
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			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	KZ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
			ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ΥU,	ZA,	ZM,	ZW	
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	
			BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
			ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	
			SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	
			TD,	TG															
	CA	2521	589			AA		2004	1104	(CA 2	004-2	2521	589		2	0040	420	
	ΕP	1618	086			A2		2006	0125]	EP 2	004-	7503	54		2	0040	420	
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR
	CN	1777	576			Α		2006	0524	(CN 2	004-	8001	0732		2	0040	420	
	JP	2006	5242	52		T2	;	2006	1026		JP 20	006-	5131	51		2	0040	420	
PRIOR	ITY	APP	LN.	INFO	. :						JS 20						0030	422	
										1	WO 2	004-1	JS12	142	Ţ	W 2	0040	420	

$$Z=0$$
 $CH=CH=X=CO=O=R^{1}$

Me
$$CH = CH - CH_2 - CH_2 - CO - OEt$$

Me $CH = CH - CH_2 - CH_2 - CO - OEt$

II

Title compds. I [Z = (CH2)n(NR3)q(CH2)tA; X = (CH2)m; R1 = H, alkyl; R2 = alkyl; R3 = H, halo, alkyl, etc.; n = 1-2; m = 2-3; q = 0-1; t = 0-1; A = (un)substituted Ph, cycloalkyl, heteroarom., etc.] and their pharmaceutically acceptable salts were prepared For example, condensation of 3-(2,6-dimethylbenzyloxy)benzaldehyde and triphenylethylbutyrate phosphonium bromide afforded claimed benzyloxyphenyl acid ester II in 62% yield. In serum glucose assays in b/db mice, compound II exhibited glucose mg/dL of 651 at 100 mg/kg dosage. Compds. I are claimed useful for the treatment of metabolic disorders, i.e., diabetes, metabolic syndrome X, obesity, etc.

L47 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:902090 HCAPLUS Full-text

DOCUMENT NUMBER:

141:384282

TITLE:

Compounds for the treatment of metabolic disorders

INVENTOR(S):

Hodge, Kirvin L.; Sharma, Shalini;

Von Borstel, Reid W.; Wolpe, Stephen

D.

PATENT ASSIGNEE(S):

Wellstat Therapeutics Corporation, USA

SOURCE:

PCT Int. Appl., 47 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

Fildite

PATENT N	NO.		KIND DATE						APPL	ICAT:	ION 1	. O <i>l</i>		D	ATE	
	- 				_									-		
WO 20040	9148	36		A2	:	2004	1028	. 1	WO 2	004-1	US10'	799		20	00404	408
WO 20040	9148	36		A3		2005	0120									
W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
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PRIORITY APPLN. INFO.:
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                                                                 W 20040408
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OTHER SOURCE(S): MARPAT 141:384282

AB Agents such as 4-(3-(2,6-dimethylbenzyloxy)phenyl)-4-hydroxybutanoic acid, useful for the treatment of various metabolic disorders, such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis are disclosed. Thus, 4-(3-(2,6-dimethylbenzyloxy)phenyl)-4-(R)-hydroxybutanoic acid was prepared by the NaBH4 reduction of 4-(3-(2,6-dimethylbenzyloxy)phenyl)-4- oxobutanoic acid. The above compound elicited a significant reduction in blood glucose.

L47 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2004:718293 HCAPLUS Full-text

DOCUMENT NUMBER: 141:236676

TITLE: Compounds for the treatment of metabolic disorders

INVENTOR(S): Hodge, Kirvin L.; Lee, Albert; Sharma,

Shalini; Von Borstel, Reid W.

PATENT ASSIGNEE(S): Wellstat Therapeutics Corporation, USA

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PRIO

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OTHER SOURCE(S): MARPAT 141:236676

Agents useful for the treatment of various metabolic disorders, such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis are disclosed. Formula (I) wherein n is 1 or 2; m is 0, 1, 2, 4 or 5; q is 0 or 1; t is 0 or 1; R2 is alkyl from 1 to 3 carbon atoms; R3 is hydrogen, halo, alkyl having from 1 to 3 carbon atoms, or alkoxy having from 1 to 3 carbon atoms; A is Ph, unsubstituted or substituted by or 1 or 2 groups selected from: halo, alkyl having 1 or 2 carbon atoms, perfluoromethyl, alkoxy having 1 or 2 carbon atoms, and perfluoromethoxy; or cycloalkyl having from 3 to 6 ring carbon atoms wherein the cycloaldyl is unsubstituted or one or two ring carbons are independently mono-substituted by Me or ethyl; or a 5 or 6 membered heteroarom. ring having 1 or 2 ring heteroatoms selected from N, S and O and the heteroarom. ring is covalently bound to the remainder of the compds. of formula (I) by a ring carbon; and R1 is hydrogen or alkyl having 1 or 2 carbon atoms. Alternatively, when R1 is hydrogen, the biol. active agent can be a pharmaceutically acceptable salt of the compound of Formula (I).

L47 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:412751 HCAPLUS Full-text

DOCUMENT NUMBER: 140:400084

TITLE: Oxocarboxylic acids and esters thereof for the

treatment of metabolic disorders Hodge, Kirvin L.; Sharma, Shalini;

Von Borstel, Reid W.; Wolpe, Stephen

D.

PATENT ASSIGNEE(S): Wellstat Therapeutics Corporation, USA; Von Borstel,

Reid W.

SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

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L47 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:964135 HCAPLUS Full-text

DOCUMENT NUMBER:

138:24543

TITLE:

Preparation of benzyloxyphenyloxobutyrates and related

compounds for the treatment of metabolic disorders

INVENTOR(S):

Sharma, Shalini; Von Borstel, Reid

W.; Hodge, Kirvin L.

PATENT ASSIGNEE(S):

Wellstat Therapeutics Corporation, USA; Bamat, Michael

Κ.

SOURCE:

PCT Int. Appl., 242 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

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US 2005256333	A1	20051117	US	2005-481042		20050114
PRIORITY APPLN. INFO.:			US	2001-297282P	P	20010612
			US	2002-167839	A3	20020612
			WO	2002-US18388	W	20020612
			US	2003-685183	A3	20031014
			US	2004-865088	A1	20040610

OTHER SOURCE(S):

MARPAT 138:24543

GI

$$A(CH_2)p(NR^5)q(CH_2)nO$$
 (CH₂)mCOXCOQ I

AB Biol. active title compds: [I; n = 1, 2; m, q, p = 0, 1; R5 = alkyl; R9 = H,halo, alkoxy; A = (halo-, alkyl-, perfluoromethyl-, alkoxy-, perfluoromethoxysubstituted) Ph, (Me-, Et-substituted) cycloalkyl, 5-6 membered heteroarom. ring having 1-2 N, S, O atoms; X = CH2, Q = OR1, R1 = Et; or X = CH2CR12R13, CH2CH(NHAc), Q = OR1, R1 = H, alkyl; or X = CH2CH2, Q = NR10R11; R12, R13 = H, Me; 1 of R10, R11 = H, alkyl, OH, the other = H, alkyl], were prepared Thus, 4-(2-fluorobenzyloxy)acetophenone (preparation given) in THF and DMPU was treated with a solution of Li bis(trimethylsilyl)amide at -60°; after 10 min, tert-Bu bromoacetate was added followed by stirring for an addnl. 10 min and warming to room temperature for 4 h to give tert-Bu 4-[4-(2fluorobenzyloxy)phenyl]-4-oxobutyrate. The latter was stirred with CF3CO2H in CH2Cl2 to give 4-[4-(2-fluorobenzyloxy)phenyl]-4-oxobutyric acid. Tested I showed antidiabetic activity in a variety of tests. I are useful in treatment of various metabolic disorders such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

=> d que 150

L2 4 SEA FILE=REGISTRY ABB=ON PLU=ON (478162-78-6/BI OR 781651-20-5/BI OR 781651-21-6/BI OR 9004-10-8/BI)

L5 STR

Structure attributes must be viewed using STN Express query preparation.

L17 2 SEA FILE=REGISTRY SSS FUL L5

L19 2 SEA FILE=REGISTRY ABB=ON PLU=ON L2 NOT L17

L49 1 SEA FILE=REGISTRY ABB=ON PLU=ON L19 AND C19H2OO4/MF

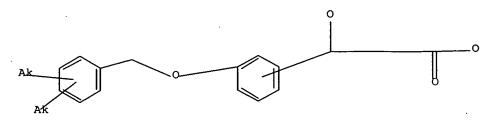
L50 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L49

=> d que 155 ·

L2 4 SEA FILE=REGISTRY ABB=ON PLU=ON (478162-78-6/BI OR 781651-20-

5/BI OR 781651-21-6/BI OR 9004-10-8/BI)

L5 STF



Structure attributes must be viewed using STN Express query preparation.

L17 2 SEA FILE=REGISTRY SSS FUL L5

L19 2 SEA FILE=REGISTRY ABB=ON PLU=ON L2 NOT L17

L20 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L17

L49 1 SEA FILE=REGISTRY ABB=ON PLU=ON L19 AND C19H20O4/MF

L50 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L49

L52 2 SEA FILE=REGISTRY ABB=ON PLU=ON L2 AND L17

L53 3 SEA FILE=REGISTRY ABB=ON PLU=ON (L52 OR L49)

L54 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L53

L55 3 SEA FILE=HCAPLUS ABB=ON PLU=ON (L54 OR L50 OR L20)

=> d ibib abs hitstr 155 tot

L55 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:995903 HCAPLUS Full-text

DOCUMENT NUMBER:

141:410698

TITLE:

Preparation of α -oxoacid-substituted phenols for

the treatment of metabolic disorders

INVENTOR(S):

Hodge, Kirvin L.; Sharma, Shalini; Von Borstel, Reid

W.

PATENT ASSIGNEE(S):

Wellstat Therapeutics Corporation, USA; Von Borstel,

Reid W.

SOURCE:

PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098496		20041118	WO 2004-US12141	20040420
WO 2004098496	. A3	20050331		
W: AE, AG,	AL, AM, AT	r, AU, AZ,	BA, BB, BG, BR, BW, BY,	BZ, CA, CH,
CN, CO,	CR, CU, CZ	Z, DE, DK,	DM, DZ, EC, EE, EG, ES,	FI, GB, GD,
GE, GH,	GM, HR, HU	J, ID, IL,	IN, IS, JP, KE, KG, KP,	KR, KZ, LC,
LK, LR,	LS, LT, LU	J, LV, MA,	MD, MG, MK, MN, MW, MX,	MZ, NA, NI,
NO, NZ,	OM, PG, PF	I, PL, PT,	RO, RU, SC, SD, SE, SG,	SK, SL, SY,

TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2004237602 **A1** 20041118 AU 2004-237602 20040420 CA 2522738 AΑ 20041118 CA 2004-2522738 20040420 EP 1617835 A2 20060125 EP 2004-750363 20040420 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR 20060531 CN 2004-80011552 CN 1780614 20040420 PRIORITY APPLN. INFO.: US 2003-466663P Ρ 20030430 WO 2004-US12141 20040420 CASREACT 141:410698; MARPAT 141:410698 OTHER SOURCE(S): GI

$$A = (CH_2)_p = N_q = (CH_2)_n = 0$$

AB Title compds. I [n = 1-2; m = 0-4; q, p = 0-1; R2 = alkyl; R3 = H, halo; A = (un)substituted Ph, cycloalkyl, etc.; R1 = H, alkyl] are prepared For instance, 2-oxo-2-[3-(2,6-dimethylbenzyloxy)phenyl]acetic acid (II) is prepared by SeO2 oxidation of the corresponding ethanone precursor (prior art). II showed a statistically significant decrease in blood glucose and triglycerides in obese mice compared to control at 60 mg/Kg. I are useful for the treatment of various metabolic disorders, such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

IT 478162-78-6, 4-(3-(2,6-Dimethylbenzyloxy)phenyl)-4-oxobutanoic acid

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of $\alpha\text{-}oxoacid\text{-}substituted phenols for treatment of metabolic disorders)$

RN 478162-78-6 HCAPLUS

2004:902090 HCAPLUS Full-text ACCESSION NUMBER: 141:384282 DOCUMENT NUMBER: Compounds for the treatment of metabolic disorders TITLE: Hodge, Kirvin L.; Sharma, Shalini; Von Borstel, Reid INVENTOR(S): W.; Wolpe, Stephen D. Wellstat Therapeutics Corporation, USA PATENT ASSIGNEE(S): PCT Int. Appl., 47 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PAT	ENT	NO.		٠	KIN	D	DATE		1	APPL	ICAT	ION I	NO.	•	D.	ATE	
							-									-		
	WO	2004	0914	86		A2		2004	1028	1	WO 2	004-1	JS10	799		2	00404	408
	WO	2004	0914	86		A 3		2005	0120									
		W:	ΑE,	AG,	ΑL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,
												BG,						
												MC,				•		
			SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,
			TD,	-	·	,			·		-							
	ΑU	2004	2294	18		A 1		2004	1028		AU 2	004-	2294	18		2	0040	408
	CA	2521	621			AA		2004	1028		CA 2	004-	2521	621		2	0040	408
	EP	1633	340			A2		2006	0315		EP 2	004-	7592	57		2	0040	408
		R:	AT.	BE.	CH.	DE.	DK.	ES.	FR.	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
					-	-						HU,			·	·	•	•
	BR	2004	•	•	•	À	•	•	•	•	•	2004 -	-			2	0040	408
	CN	1774	244			Α						2004 -				2	0040	408
	JР	2006	5236	96				2006	1019	,	JP 2	006-	5098	02		2	0040	408
		2006		-		A1		2006	0119		US 2	2005-	5316	18		2	0050	414
		2005		-		Α		2005	1220		NO 2	2005-	4791			2	0051	018
PRIOF												2003 -					0030	
01					- •							2004 -					0040	
			(~)					7.47	2040							_	-	

OTHER SOURCE(S): MARPAT 141:384282

AB Agents such as 4-(3-(2,6-dimethylbenzyloxy)phenyl)-4-hydroxybutanoic acid, useful for the treatment of various metabolic disorders, such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis are disclosed. Thus, 4-(3-(2,6-dimethylbenzyloxy)phenyl)-4-(R)-hydroxybutanoic acid was prepared by the NaBH4 reduction of 4-(3-(2,6-dimethylbenzyloxy)phenyl)-4- oxobutanoic acid. The above compound elicited a significant reduction in blood glucose.

IT 781651-21-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(compds. for treatment of metabolic disorders)

RN 781651-21-6 HCAPLUS

CN Benzenebutanoic acid, 3-[(2,6-dimethylphenyl)methoxy]- γ -hydroxy-, (γ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 781651-20-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(compds. for treatment of metabolic disorders)

RN 781651-20-5 HCAPLUS

CN Benzenebutanoic acid, 3-[(2,6-dimethylphenyl)methoxy]-γ-hydroxy(9CI) (CA INDEX NAME)

IT 478162-78-6

RL: RCT (Reactant); RACT (Reactant or reagent) (compds. for treatment of metabolic disorders)

RN 478162-78-6 HCAPLUS

CN Benzenebutanoic acid, 3-[(2,6-dimethylphenyl)methoxy]-γ-oxo- (9CI) (CA INDEX NAME)

L55 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:964135 HCAPLUS Full-text

DOCUMENT NUMBER: 138:24543

TITLE: Preparation of benzyloxyphenyloxobutyrates and related

compounds for the treatment of metabolic disorders Sharma, Shalini; Von Borstel, Reid W.; Hodge, Kirvin

L.

PATENT ASSIGNEE(S): Wellstat Therapeutics Corporation, USA; Bamat, Michael

Κ.

SOURCE: PCT Int. Appl., 242 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

INVENTOR (S):

PATENT INFORMATION:

	TENT NO.					DATE				PLIC			NO.		I	DATE	
	20021003			A2									388	-		20020	612
	20021003										- `		300		•		
				AM,					В	в. в	G.	BR.	BY.	BZ.	CA.	. Сн.	CN.
				CZ,													
	•	-		ID,								-					
				LV,													
				RU,													
				υz,							•	•	•	•	•		•
	RW: GH,										z,	UG,	ZM,	ZW,	AM	, AZ,	BY,
	•			RU,													
	. GR,																
				ML,							·	•	•	-			
CA	2450221	~.		AA		2002					2-2	2450	221		:	20020	612
US	20031491	07		A 1		2003	0807		US	200	2-1	L678	39		2	20020	612
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EP	1461323			A2		2004	0929		EР	200	2-7	7442	71		:	20020	612
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		FI,															
JP	20055010	12		T 2		2005	0113		JP	200	3 - 5	5031	68		2	20020	612
•	1608055			Α		2005	0420		CN	200	2-8	3118	81		:	20020	612
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US	20040778	96		A1		2004			US	200	3-6	5846	44		. :	20031	014
US	6924314			B2		2005	0802										
US	20040925	18		A1		2004	0513		US	200	3-6	5847	35		2	20031	014
US	7041659			B2		2006	0509										
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	6946491			B2		2005	0920										
US	20040975	85		A1		2004	0520		US	200	3-6	5847	30		:	20031	014
່ ປຣ	6916848			B2		2005	0712										
US	20042361	00		A1		2004	1125		ÚS	200	3-6	5846	60		:	20031	014
US	6858602			B2		2005	0222										
US	20042670	25		A1		2004	1230		US	200	3-6	5847	40		:	20031	014
US	7045541			B2		2006	0516										
ZA	20030096	27		Α .		2005	0617		ZA	200	3-9	9627			• :	20031	211
ບຣ	20042426	92		A1		2004	1202		US	200	4 - 8	3650	88			20040	610
US	20050041	15		A1		2005	0106		US	200	4 - 8	3929	50			20040	716
US	7012071			B2		2006	0314		•								
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	20052563			A1		2005	1117		US	200	5 - 4	1810	42		:	20050	114
	APPLN.		. :						US	200	1-2	2972	82P		P :	20010	612
									US	200	2-3	1678	39		A3 :	20020	612
									WO	200	2-1	JS18	388		W :	20020	612
									US	200	3-6	5851	83		A3 :	20031	014
									US	200	4 - 8	3650	88	٠.	A1 :	20040	610
OTHER SO	OURCE(S):			MARP	ΑТ	138:	2454	3									
GI																	

 $A(CH_2)_p(NR^5)_q(CH_2)_{nO}$ (CH₂)_mCOXCOQ

Biol. active title compds. [I; n = 1, 2; m, q, p = 0, 1; R5 = alkyl; R9 = H, AB halo, alkoxy; A = (halo-, alkyl-, perfluoromethyl-, alkoxy-, perfluoromethoxysubstituted) Ph, (Me-, Et-substituted) cycloalkyl, 5-6 membered heteroarom. ring having 1-2 N, S, O atoms; X = CH2, Q = OR1, R1 = Et; or X = CH2CR12R13, CH2CH(NHAc), Q = OR1, R1 = H, alkyl; or X = CH2CH2, Q = NR10R11; R12, R13 = H, Me; 1 of R10, R11 = H, alkyl, OH, the other = H, alkyl], were prepared Thus, 4-(2-fluorobenzyloxy)acetophenone (preparation given) in THF and DMPU was treated with a solution of Li bis(trimethylsilyl)amide at -60°; after 10 min, tert-Bu bromoacetate was added followed by stirring for an addnl. 10 min and warming to room temperature for 4 h to give tert-Bu 4-[4-(2fluorobenzyloxy)phenyl]-4-oxobutyrate. The latter was stirred with CF3CO2H in CH2Cl2 to give 4-[4-(2-fluorobenzyloxy)phenyl]-4-oxobutyric acid. Tested I showed antidiabetic activity in a variety of tests. I are useful in treatment of various metabolic disorders such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

IT 478162-78-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzyloxyphenyloxobutyrates and related compds. for treatment of metabolic disorders)

RN 478162-78-6 HCAPLUS

CN Benzenebutanoic acid, 3-[(2,6-dimethylphenyl)methoxy]-γ-oxo- (9CI) (CA INDEX NAME)

=> file marpat

FILE 'MARPAT' ENTERED AT 16:53:10 ON 31 OCT 2006
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FILE CONTENT: 1961-PRESENT VOL 145 ISS 18 (20061027/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

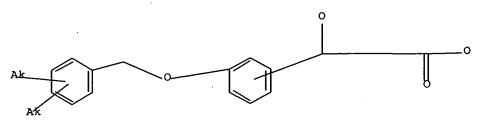
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 7108861 19 SEP 2006
DE 102006006123 07 SEP 2006
EP 1700848 13 SEP 2006
JP 2006242783 14 SEP 2006
WO 2006095864 14 SEP 2006
GB 2423518 30 AUG 2006
FR 2882520 01 SEP 2006
RU 2283369 10 SEP 2006

Expanded G-group definition display now available.

=> d que 131

L5 STR



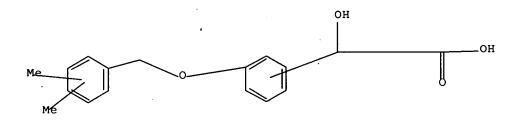
Structure attributes must be viewed using STN Express query preparation.

L17 2 SEA FILE=REGISTRY SSS FUL L5

L20 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L17

L23 96 SEA FILE=MARPAT SSS FUL L5

L24 STR



Structure attributes must be viewed using STN Express query preparation.

L29 31 SEA FILE=MARPAT SUB=L23 SSS FUL L24

L30 27 SEA FILE=MARPAT ABB=ON PLU=ON L29/COM

L31 26 SEA FILE=MARPAT ABB=ON PLU=ON L30 NOT L20

=> d ibib abs qhit 131 tot

L31 ANSWER 1 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

143:422364 MARPAT Full-text

TITLE:

Preparation of 2-aminopyridine derivatives as IKK2

inhibitors for treatment of inflammatory and

. autoimmune diseases

INVENTOR(S):

Okamoto, Yoshinori; Kubota, Hirokazu; Sato, Ippei; Hattori, Kazuyuki; Kanayama, Takatoshi; Yokoyama,

Kazuhiro; Terai, Yoshiya; Takeuchi, Masahiro

PATENT ASSIGNEE(S):

Astellas Pharma Inc., Japan

SOURCE:

PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATI	ENT :	NO.		KI	ND I	DATE			A)	PPLI	CATI	ои ис	ο.	DATE			
									-								
WO 2	2005	10034	11	A.	1 :	2005	1027		W	20	05-J	P717	В	2005	0413		
_	W :	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	ВĠ,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
		NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,
		SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,
		ZM,	ZW														
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
		MR,	NE,	SN,	TD,	TG											
PRIORITY GI	APP	LN.	INFO	. :					J	P 20	04-1	2083:	3	2004	0415		

AB The title compds. I [A = (CH2)m; R1 = (un)substituted alkyl, OH, halo, etc.; R2 = H, alkyl, etc.; E = H, (un)substituted cycloalkyl, (un)substituted Ph, etc.; R6 = H, alkyl, etc.; m = 0 - 3; n = 0 - 2] are prepared Thus, 2-(2-amino-6-piperidin-3-ylpyrimidin-4-yl)phenol 2HCl salt was prepared in a multistep process from 1-(tert-butoxycarbonyl)piperidine-3- carboxylic acid and 2-hydroxyacetophenone. 42 Compds. of this invention in vitro showed IC50 values ≤ 0.5 μM against IKK2.

MSTR 1

G1

G2 = 18

183-194

= 20-144 21-19

28-295

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G4
       = Ph (opt. substd. by 1 or more G34)
```

G5 = alkylene <containing 1-6 C>

G11 = alkyl <containing 1-6 C>

(opt. substd. by (1-2) G14)

= OH / CO2H G14

= alkyl <containing 1-6 C>

(opt. substd. by 1 or more G12)

Patent location:

claim 1

Note:

or salts

REFERENCE COUNT:

46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 2 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

143:379868 MARPAT Full-text

TITLE: INVENTOR(S): Novel pharmaceutical compositions

Garg, Neeraj; Koch, Eva Kristina; Jernstedt,

Henrik-Hakan; Gillner, Mikael Johan

PATENT ASSIGNEE(S):

Karo Bio Ab, Swed.

SOURCE:

PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT NO. KIND WO 2005094810 A2 WO 2005094810 A3			KI	ND	DATE			A.	PPLI	CATI	ои ис) . 1	DATE						
				-															
			A:	A2 2005		1013	WO 2005-EP2307			7	20050304								
			3	20060112															
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,	NI,	
		•	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
			SY.	T.T.	TM.	TN.	TR.	TT.	TZ.	UA.	UG.	US.	117.	VC.	VN.	YU.	7A.	ZM.	ZW

PRIORITY APPLN. INFO.:

GB 2004-5033 20040305

GI

$$R1$$
 $R2$
 $Y = (CH2)_n = (CH2)_m = R5$

AB The invention provides compds. of formula (I) or a pharmaceutically acceptable ester, amide, solvate or salt thereof, including a salt of such an ester or amide, and a solvate of such an ester, amide or salt, for use in the treatment or prophylaxis of condition mediated by an androgen receptor.

MSTR 1

$$G4 = 15 / 97 / 100$$

$${}_{1}G^{7} - {}_{1}G^{(0)} - {}_{G21} {}_{9}G^{20} - {}_{9}G^{23} {}_{1}G^{26} - {}_{1}G^{23}$$

$$G5 = 330$$

$$3^{\frac{9}{0}}$$
 G8

$$G7 = 163-4 164-14$$

1637-T640

G8 = 354

G9 = 374

G20 = G28 / 192-4 193-98

1923T935

G21 = OH

 $G26 = 206-4 \ 207-101$

26642646

G27 = 165

ዟ 65 -G36

G28 = (1-3) CH2

G36 = OH

G40 = G28

G45 = G28

G46 = G28

Patent location:

Note: or pharmaceutically acceptable esters, amides,

solvates/salts

Note: or N-oxides

Note: also incorporates claim 12, formula II

claim 1

L31 ANSWER 3 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

143:367086 MARPAT <u>Full-text</u>

TITLE:

Preparation of aryl amides and aryl sulfonamides as

agonists of the thyroid receptor

INVENTOR (S):

Garcia Collazo, Anna Maria; Ericsson, Thomas Anders

Wilson; Garg, Neeraj; Loefstedt, Anton Joakim;

Hansson, Tomas Fredrik

PATENT ASSIGNEE(S):

Karo Bio AB, Swed. PCT Int. Appl., 72 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

GΙ

English

FAMILY ACC. NUM. COUNT:

r. 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2005092316 A1 20051006 WO 2005-EP3030 20050322 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM. RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG. AU 2005226914 **A1** 20051006 AU 2005-226914 20050322 PRIORITY APPLN. INFO.: GB 2004-6378 20040322 WO 2005-EP3030 20050322

$$R^{1}$$
 X
 Y
 R^{4}
 W
 R^{5}

AB Title compds. I [R1 = SO2R6, SOR6 and C(0)R6; R6 = alkyl, alkenyl, alkynyl, etc.; R2 = halo, NO2, CN, etc.; n = 0-3; X and Y together are -C(R7)=C(R7) - or X and Y independently = O, S or CH(R8) with provisions; R7 = H, halo, alkoxy, etc.; R8 = H, OH, methylthio, etc.; R3 and R4 independently = halo, alkyl, fluoromethoxy, etc.; W = alkylene, alkenylene, alkynylene, etc.; R5 = CO2R9, SO2OR9, COCO2R9, etc.; R9 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as agonists of thyroid receptor. Thus, e.g., II was prepared by sulfonylation of {4-[(E)-2-(3-amino-phenyl)-vinyl]-3,5-dibromo-benzyloxy}-acetic acid tert-Bu ester (preparation given) with methanesulfonyl chloride and subsequent treatment with TFA. The binding activity of I towards the thyroid receptor was evaluated and it was revealed that compds. of the invention exhibited binding affinities to the thyroid receptor in the range of 1 nM to 500 nM. I as

agonist of the thyroid receptor should prove useful in the treatment of diseases such as but not limited to obesity, diabetes and atherosclerosis. Pharmaceutical compns. comprising I are disclosed.

MSTR 1

G10 = alkyl <containing 1-4 C>

(opt. substd. by (1-3) G11)

G18 = 140-5 141-9

G25 = 0 G27 = 202

2620-2630)---G42

G29 = OH

G40 = alkylené <containing 1 or more C>

(opt. substd. by 1 or more G29)

G42 = OH

Patent location: claim 1

Note: additional oxo formation also disclosed

Note: or pharmaceutically acceptable esters, amides,

solvates or salts

Note: also incorporates claim 14, structure II

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 4 OF 26 MARPAT COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 143:346906 MARPAT Full-text

TITLE: 3,5-Dihalo-4-(3-aminobenzyloxy)phenylalkanoic acids as

thyroid receptor agonists, their preparation,

pharmaceutical compositions, and use

INVENTOR(S): Garcia Collazo, Ana Maria; Ericsson, Thomas Anders

Garcia Collazo, Ana Maria; Ericsson, Thomas Anders Wilson; Garg, Neeraj; Loefstedt, Anton Joakim;

Hansson, Tomas Fredrik; Hallberg, Lars Jesper; Brandt,

Peter

PATENT ASSIGNEE(S): Kar

Karo Bio AB, Swed.

SOURCE:

GΙ

PCT Int. Appl., 96 pp.

CODEN: PIXXD2 ·

DOCUMENT TYPE:

Patent

LANGUAGE:

English

LANGUAGE:

Engit

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                     KIND DATE
                                           APPLICATION NO. DATE
                            -----.
    WO 2005092317
                      A1
                            20051006
                                           WO 2005-EP3033
                                                            20050322
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
            SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
            EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
            RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
            MR, NE, SN, TD, TG
                                           GB 2004-6380
                                                            20040322
PRIORITY APPLN. INFO.:
```

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The invention relates to compds. I, which are agonists of thyroid receptors. AB In compds. I, R1 is H, (un) substituted C1-8 alkyl, (un) substituted C2-8 alkenyl, (un)substituted C2-8 alkynyl, (un)substituted C3-8 cycloalkyl, and (un) substituted C3-8cycloalkyl-C1- 3alkyl; each R2 is independently selected from halo, mercapto, nitro, cyano, (un) substituted C1-4 alkoxy, (un) substituted C1-4 alkyl, etc.; n is 0-3; Y and Z together are (un) substituted vinyl, or Y and Z are independently selected from O, S, and (un) substituted C, provided that at least one of Y and Z is (un) substituted C; R3 and R4 are independently selected from halo, C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl, C1-4 alkoxy, fluoromethyl, trifluoromethyl, etc.; W is selected from (un) substituted C1-3 alkylene, (un) substituted C2-3 alkenylene, (un) substituted C2-3 alkynylene, (un) substituted N-C1-3 alkylene, (un) substituted C(O)N-C1-3 alkylene, etc.; R5 is selected from CO2R6, PO(OR6)2, PO(OR6)NH2, SO2OR6, COCO2R6, CONR6OR6, SO2NHR6, NHSO2R6, CONHSO2R6, and SO2NHCOR6; and R6 is independently selected from H, C1-4 alkyl, C2-4 alkenyl, and C2-4 alkynyl. The invention also relates to the preparation of I, pharmaceutical compns. containing I, or a pharmaceutically acceptable ester, amide solvate or salt thereof, a pharmaceutically acceptable excipient and optionally an addnl. therapeutic agent, as well as to the use of the compns. in the treatment or prophylaxis of a condition mediated by a thyroid receptor. Me 3-(4-hydroxyphenyl)propionate was brominated and alkylated with 5-chloro-3nitrobenzyl bromide (preparation from 5-chloro-3-nitrotoluene given) resulting in the formation of propionate II. Tin-mediated reduction of nitro compound II followed by reductive alkylation with acetaldehyde and sodium cyanoborohydride and ester hydrolysis gave 3-[3,5-dibromo-4-[5-chloro-3-(ethylamino)benzyloxy]phenyl]propanoic acid (III). The compds. of the invention exhibit binding affinities to the thyroid receptor between 1 nM and 500 nM.

G10 = alkyl <containing 1-4 C>

(opt. substd. by (1-3) G11)

G18 = 140-5 141-9

G25 = 0 G27 = 202

2640-2630)-G42

G29 = OH

G40 = alkylene <containing 1 or more C>
 (opt. substd. by 1 or more G29)

G42 = OH

Patent location:

claim 1

Note:

substitution is restricted

Note:

additional oxo formation also disclosed

or pharmaceutically acceptable esters, amides, solvates or salts

Note:

also incorporates claim 15, structure III

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

REFERENCE COUNT:

dibe micorpolated cidim 10, belaced 111

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER:

L31 ANSWER 5 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION

142:82043 MARPAT Full-text

TITLE:

Liquid crystal alignment promoters, liquid crystal compositions, and optically anisotropic materials

INVENTOR (S):

Kawamura, Shoji; Ono, Yoshiyuki; Ujiie, Seiji

PATENT ASSIGNEE(S):

Dainippon Ink and Chemicals, Inc., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 25 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent Japanese

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

APPLICATION NO. PATENT NO. KIND DATE DATE _____ -----20050106 JP 2003-165008 20030610 JP 2005002164 A2

PRIORITY APPLN. INFO.:

JP 2003-165008 The promoters are represented by A(B')n [A = P'-substituted C1-30 saturated hydrocarbon residue optionally containing CH2, CH, C, O, CO, CO2, OCO, OCO2, NH, N, N+H, N+, SO2NH, SO3, SO2N, SO2N+H, SO2N+, OPO2; O, N, S, or P is not directly bonded to other O, N, S, or P; P' = OH, NH2, NHOH, N(OH)2, NHC(O)R, C(O)NHR, C(O)NH2, CO2H, CO2R, CO2C(O)R, C(O)H, SO3H, SO2R, P(OH)2:O, P(OR)2:O, N+H3, N+H2OH, N+H(OH)2, N+(OH)3, etc.; R=(ether-, ester-, or amido groupcontaining) C1-12 alkyl, alkenyl, aryl; B' = ≥2 cyclic structure-containing mesogen; n = 1-12; when $n \ge 2$, B' may be different]. The compns. contain the promoters and liquid crystal compds. preferably having polymerizable groups, e.q., (meth)acryloyl, vinyloxy, epoxy. The materials are obtained by applying the compns. on substrates having alignment function and polymerizing the compns. in the aligned state. Liquid crystals are aligned owing to hydrophilic P' groups and liquid crystal-compatible B' groups of the promoters, and the hydrophilic groups show high adhesion to other parts.

20030610

MSTR 1

Ģ1----G7 ·

= alkyl <containing 1-30 C> G1 (opt. substd. by 1 or more G2)

= OH / CO2H G2

G7 = 40

 $_{4}$ G8 $-_{4}$ G22 $-_{4}$ G25

G8 = 250-1 251-41

2912-2913

G9 = alkyl <containing 1-3 C>

= p-C6H4 (opt. substd. by 1 or more G9) G12

= 456-250 457-41 G13

48144975

= 460-250 461-457G14

480 4817

G15 = p-C6H4 (opt. substd. by 1 or more G9)

G17 = CH2

Patent location:

Note:

additional mesogenic groups and ring substitution

also claimed

L31 ANSWER 6 OF 26 MARPAT COPYRIGHT 2006 ACS on STN ACCESSION NUMBER:

TITLE:

139:395812 MARPAT Full-text

Preparation of novel 3-substituted-4-hydroxycoumarins

as rodenticides

INVENTOR (S):

Whittle, Alan John; Swanborough, Joseph John; Parry,

David Rees; Sunley, Raymond Leo

PATENT ASSIGNEE(S):

Syngenta Limited, UK

SOURCE:

Brit. UK Pat. Appl., 38 pp.

CODEN: BAXXDU

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2388595	A1	20031119	GB 2002-21678	20020918
PRIORITY APPLN. INFO.	:	•	GB 2002-11018	20020514
GI				

The title compds. [I; R = H, alkyl, cycloalkyl, cycloketonyl, cycloamino, AB etc.; R1-R4 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, haloalkyl, hydroxyalkyl, haloalkoxy, OH, nitro, cycloalkyl, (un)substituted aryl, benzoyl, etc.; Y = 0, S, (CH2)n, alkylene, etc.; X = 0, S; m = 0-1; n = 0-2; with the proviso that when m = 0, X = 0, and R1-R4 are all hydrogen, R is other than Me or CH2COMe, and when m = 1, X and Y are both oxygen, and R1-R4 are all hydrogen, R is other than Me], useful for killing or reducing a population of rodents, were prepared Thus, reacting 4-hydroxycoumarin with 1-(4'-bromobiphenyl-4-yl)ethanol (preparation given) afforded 3-[1-(4'bromobiphenyl-4-yl)ethyl]-4-hydroxycoumarin. The compds. I were tested on Rattus norvegicus for their rodenticidal activity at a rate of 250 mg/kg and mortality data for 25 compds. I were given. The rodenticidal compns. comprising the compound I are described.

$$G1 = 404$$

$$G3 = OH$$
 $G4 = 21-186 24-15$

$$G5 = 325$$

= alkylene <containing 1-2 C, unbranched> G8

(opt. substd. by 1 or more alkyl) / G16

G9 = 327

$$G16 = (1-2) CH2$$

```
G17
       = 0
G30
       = OH
```

Patent location:

claim 1

Note: Note:

substitution is restricted also incorporates claim 4

Stereochemistry:

or stereoisomers

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 7 OF 26 MARPAT COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 136:279458 MARPAT Full-text

TITLE:

Cyclization process for the preparation of

UV-stabilizing benzotriazoles which have increased

light stability from azobenzenes and azides

INVENTOR(S):

Fischer, Walter; Fritzsche, Katharina; Wolf, Walter;

Bore, Lothar

PATENT ASSIGNEE(S):

Ciba Specialty Chemicals Holding Inc., Switz.

PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

SOURCE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

```
APPLICATION NO.
    PATENT NO.
                     KIND
                           DATE
                                                            DATE
                            _ _ _ _ _ _ _
                                           -----
    WO 2002024668
                      A1
                            20020328
                                           WO 2001-EP10478 20010911
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
            PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
            US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    CA 2419459
                            20020328
                                           CA 2001-2419459 20010911
                      AA
    AU 2002014976
                            20020402
                                           AU 2002-14976
                                                            20010911
                      A5
                            20030903
                                           EP 2001-983478
    EP 1339700
                                                            20010911
                      Α1
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2004509877
                            20040402
                                           JP 2002-529078
                      T2
                                                            20010911
    US 2004019220
                            20040129
                      A1
                                           US 2003-380591
                                                            20030317
PRIORITY APPLN. INFO.:
                                           CH 2000-1830
                                                            20000920
                                           WO 2001-EP10478 20010911
```

OTHER SOURCE(S): CASREACT 136:279458

AB (un) substituted benzotriazoles (e.g., 2-phenyl-4,5-benzo-1,2,3-triazole), useful as UV stabilizers (no data), which have increased light stability, are prepared in high yield and selectivity are prepared by the reaction of (un) substituted azobenzenes (e.g., 2-nitroazobenzene) with an azide compound (e.g., sodium azide) in a solvent (e.g., DMSO) and optionally in the presence of a catalyst.

$$G_{42}$$
 G_{37}
 G_{3}
 G_{25}
 G_{24}
 G_{37}
 G_{3}
 G_{3}
 G_{25}
 G_{24}

G1 = alkyl <containing 1-25 C> (opt. substd. by 1 or more G2) / 47

49----C(0)-G13

G2 = OH / CO2H = 10-3 12-21 G3

G13 = Ph (opt. substd. by alkyl <containing 1-12 C>)

Patent location:

claim 1

Note:

substitution is restricted

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 8 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

134:366686 MARPAT Full-text

TITLE:

Preparation of 4-benzyloxyphenylalkanoic acids and analogs as thyroid receptor antagonists for the treatment of cardiac and metabolic disorders

INVENTOR(S):

Malm, Johan; Litten, Chris; Apelqvist, Theresa;

Hedfors, Asa; Brandt, Peter; Edvinsson, Karin; Gordon,

Sandra

PATENT ASSIGNEE(S):

Karo Bio AB, Swed.

SOURCE:

PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036365	A2	20010525	WO 2000-EP11554	20001116
WO 2001036365	A3	20021107		
		3.00 3.00 3.00 5		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,

LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 7005538 В1 20060228 US 2002-130434 20020828 20051201 US 2005267206 A1 US 2005-166821 20050624 PRIORITY APPLN. INFO.: GB 1999-27056 19991117 WO 2000-EP11554 20001116 US 2002-130434 20020828

GΙ

$$R^{1}$$
 CH X Y Y CH_{2} N_{1} R^{5}

$$\begin{array}{c|c} \text{Me} & \text{Br} \\ \text{O} & \text{CH}_2 - \text{CO}_2\text{H} \\ \text{Br} & \text{II} \end{array}$$

AB The title compds. (I) [wherein R1 = (un)substituted (hetero)aryl, (cyclo)alkyl, alkenyl, or alkynyl; R2 = H, alkyl, alkenyl, alkynyl, alkoxy, or bioisosteric equivalent; or R1 and R2 may for an (un)substituted cycloalkyl ring; X = O, S, S(O), SO2, Se, Te, NRc, or S-S; R3 and R4 = independently halo, (cyclo)alkyl, alkenyl, alkynyl, alkoxy, CF3, OCF3, OCF2H, SMe, SCF3, CO2H, or bioisosteric equivalent; n = 0-3; Y = CO, O, S, CHRb, or NRc; Rb = H, halo, CF3, alkyl, alkenyl, alkynyl, alkoxy, (CH2)0-4OH, or bioisosteric equivalent; Rc = H, alkyl, alkenyl, alkynyl, or bioisosteric equivalent] were prepared as thyroid receptor ligands, preferably antagonists, for treatment of cardiac arrhythmias, thyrotoxicosis, and subclin. hyperthyroidism. For example, 2-Bu bromide was added to 3,5-dibromo-4-hydroxybenzeneacetic acid using TEA in acetone to give II (89%). I exhibited binding affinities to the thyroid hormone receptor α (ThRa) in the range of 100 nM to 10,000 nM.

MSTR 1

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нас----- 615
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G5 = 0 G6 = 19

₽§----G10

= (0-3) CH2 G7

G8 = 33

3€(0)-CO2H

G10 = OH

= Ph (opt. substd. by (1-3) G16) G15

G16 = Me

Patent location:

claim 1

Note:

or pharmaceutically acceptable salts

Note: Note: and prodrug ester forms, and radioactive forms additional cycloalkyl interruption also claimed

substitution is restricted Note:

Stereochemistry:

and stereoisomers

L31 ANSWER 9 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

134:56472 MARPAT Full-text

TITLE:

Preparation of triphenylmethanes as

glucocorticoid-selective agents

INVENTOR (S):

Coghlan, Michael J.; Luly, Jay R.; Schkeryantz,

Jeffrey M.; Wang, Alan X.

PATENT ASSIGNEE(S):

Abbott Laboratories, USA

SOURCE:

U.S., 19 pp.

DOCUMENT TYPE:

CODEN: USXXAM

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE _____ _ _ _ _ _____ -----US 6166013 Α 20001226 US 1999-365268 19990730 PRIORITY APPLN. INFO.: US 1998-94699P 19980730

GI

$$\begin{array}{c|c}
R2 \\
N \\
R8 \\
\hline
R6
\end{array}$$

$$\begin{array}{c}
R4 \\
R5
\end{array}$$

The title compds. [I; R1 = H; L1 = a covalent bond; R2, R3 = H, alkyl; R4, R5 = H, NR12R13 (wherein R12, R13 = H, alkyl, etc.; R12 and R13 together with the nitrogen to which they are attached form a 4-8 membered heterocyclyl ring):

R6-R8 = H, halo, NO2] which are selective for glucocorticoid receptors, and therefore useful in treating immune, autoimmune, inflammatory, adrenal imbalance, cognitive and behavioral diseases in a mammal, were prepared Thus, treating a solution of 2-chloro-5-nitrobenzaldehyde and N,N-dimethylaniline in CH2C12 with AlC13 afforded I [R1 = H; L1 = a bond; R2, R3 = Me; R4 = 4-Me2N; R5 = H; R6 = 2-C1; R7 = 5-NO2; R8 = H] which showed Ki of 272 nM against glucocorticoid receptor cytosol binding.

T

MSTR 2

G2 = 0

G10 = alkyl <containing 1-6 C>

(opt. substd. by (1-3) G12)

G12 = OH / CO2H

G19 = alkyl <containing 1-6 C>

(opt. substd. by (1-3) G20)

Patent location:

disclosure

Note:

or pharmaceutically acceptable salts or prodrugs

additional ring formation also claimed

REFERENCE COUNT:

THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 10 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

133:362765 MARPAT Full-text

TITLE:

Preparation of substituted bicyclic compounds which

inhibit cell adhesion of fibronectin and VCAM-1
INVENTOR(S): Clark, David Edward; Eastwood, Paul Robert; Har

Clark, David Edward; Eastwood, Paul Robert; Harris, Neil Victor; McCarthy, Clive; Morley, Andrew David;

Pickett, Stephen Dennis

PATENT ASSIGNEE(S):

Aventis Pharma Ltd., UK

SOURCE:

PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2000068213 **A1** 20001116 WO 2000-GB1731 20000505 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 20001116 CA 2000-2372840 20000505 CA 2372840 AA EP 2000-927520 EP 1177181 **A1** 20020206 20000505 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO JP 2000-617193 20000505 JP 2002544203 T2 20021224 20021024 US 2001-2041 20011102 US 2002156111 Α1 US 6562851 20030513 B2 PRIORITY APPLN. INFO.: GB 1999-10394 19990505 US 1999-141471P 19990629 WO 2000-GB1731 20000505 GI

$$R^1Z^1$$
— Het — L^1 — L^2Y

Compds. I [Het = optionally substituted, saturated, partially saturated or AB fully unsatd. 8 to 10 membered bicyclic ring system containing at least one heteroatom selected from O, S or N; R1 = aryl, heteroaryl, alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl; R2 = H, halo, lower alkyl, lower alkoxy; Z1 = NR5; L1 is a -R6-R7- linkage (where R6 is alkylene, alkenylene or alkynylene and R7 is a direct bond, cycloalkylene, heterocycloalkylene, aryldiyl, heteroaryldiyl, etc.); L2 = substituted alkylene chain; Y = carboxy or an acid bioisostere] were prepared I have the ability to regulate the interaction of VCAM-1 and fibronectin with the integrin VLA-4 ($\alpha 4\beta 1$). E.g., a solution of 2-o- tolylaminobenzoxazol-6-ylacetic acid (preparation given) and diisopropylethylamine in DMF was treated successively with O-(7azabenzotriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate and then with (R)-tert-Bu 3-(acetylmethylamino)3-[(4- methylamino)phenyl]propionate (preparation given) to give 92% 3-(acetylmethylamino)-3-(4-{methyl[(2-otolylaminobenzoxazol-6- yl)acetyl]amino}phenyl)propionic acid.

= 120-84 124-4G1

G10 = phenylene (opt. substd. by (1) G37)

G11 = CO2H

G12 = 41-3 40-5

45(0)48

= alkylene <containing 1-15 C> (substd. by G22) G19

G22

G31 = alkyl <containing 1-4 C> claim 1

Patent location:

and N-oxides, prodrugs and pharmaceutically Note:

acceptable salts and solvates

Note: substitution is restricted

REFERENCE COUNT: THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 11 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

133:177171 MARPAT Full-text

TITLE:

Preparation of [[(benzoxazolylalkanoyl)amino]phenyl]al

kanoates and analogs as integrin receptor ligands

INVENTOR(S):

Clark, David Edward; Eastwood, Paul Robert; Harris, Neil Victor; McCarthy, Clive; Morley, Andrew David;

Pickett, Stephen Dennis

PATENT ASSIGNEE(S):

Aventis Pharma Limited, UK

SOURCE:

PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT NO. KIND				ND.	DATÉ				APPLICATION NO.				DATE				
			-						_	- -							
WO 2000049005 A1					1	2000	0824		W	2 O	00-G	B553	:	2000	0216		
	W:	ΑE,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
		IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,
		MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	ΡL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,
		SK.	SL.	TJ.	TM.	TR.	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW	

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 20000824 CA 2000-2362862 20000216 AA EP 1153017 20011114 EP 2000-903864 20000216 Α1 EP 1153017 20060503 B1 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY JP 2002537292 · T2 20021105 JP 2000-599745 20000216 AU 775208 B2 20040722 AU 2000-25617 20000216 AT 325105 Е 20060615 AT 2000-903864 20000216 PT 1153017 20060731 PT 2000-903864 20000216 Т US 2002137782 A1 20020926 US 2001-925110 20010809 20030715 US 6593354 B2 PRIORITY APPLN. INFO.: GB 1999-3532 19990216 US 1999-141445P 19990629 WO 2000-GB553 20000216 GI

AB R1NR5ZZ1ZZZ3Z4R4 [I; R1 = (un)substituted (hetero)aryl; R4 = CO2H or an acid bioisostere (sic); R5 = H or alkyl; Z = (un)substituted (un)saturated bicyclic heterocyclylene; Z1 = alk(en)ylene, alkynylene; Z2 = bond, cycloalkylene, (hetero)arylene, CONR5, etc.; Z3 = (un)substituted phenylene; Z4 = (un)substituted alk(en)ylene], which regulate the interaction of VCAM-1 and fibronectin with integrin VLA-4 (α4β1), were prepared Thus, (R)-MeCHPhCH2CO2H was converted in 3 steps to (R)-4-(H2N)C6H4CHMeCH2CO2Et which was amidated by 2-(o-tolylamino)benzoxazole-6-acetic acid (preparation given) to give, after saponification, title compound (R)-II. Data for biol. activity of I were given.

MSTR 1

G2 - g23 - g1 - g5 - g3 - G13 - G22

G1 = 63-2 69-4

= phenylene (opt. substd. by (1) G4) G3

= 8-3 9-5

ç7—ç8

= CH2 G7

G8 = 0

= carbon chain < containing 1 or more C, G13

0 or more double bonds, 0 or more triple bonds>

(opt. substd. by 1 or more G14)

G14 = OH

G22 = CO2H

G26 = alkyl <containing 1-4 C>

and N-oxides, prodrugs, pharmaceutically acceptable Derivative:

salts, and solvates

Patent location:

claim 1

Note:

substitution is restricted

REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 12 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

132:271780 MARPAT Full-text

TITLE:

New liquid crystal compound

INVENTOR(S):

Poetsch, Eike; Binder, Werner; Krause, Joachim;

Hirschmann, Harald; Derow, Stephan

PATENT ASSIGNEE(S):

Merck Patent Gmbh, Germany

SOURCE:

Ger. Offen., 28 pp.

DOCUMENT TYPE:

CODEN: GWXXBX

LANGUAGE:

Patent German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

DE 19941567 20000420 DE 1999-19941567 19990901 A1 PRIORITY APPLN. INFO.: DE 1998-19840654 19980905

GI

AB The invention relates to the new liquid crystal compound containing a structural element of I or its mirror image II (m = 1, 2, 3). The new liquid crystal compound can be used as a component of the liquid crystal composition and for manufacturing liquid crystal polymers. The new liquid crystal compound can be applicable to liquid crystal displays, optical elements, decoration purposes, etc.

MSTR 1A

G3 = carbon chain <containing 1 or more C>
 (opt. substd. by 1 or more G4)

G4 = OH / CO2H

G5 = p-C6H4

G7 = alkyl <containing 1-7 C>

(opt. substd. by 1 or more G8)

G9 = 179-2 180-4

1991-1890

G10 = 191-179 194-4

G11 = 251-2 252-180

281 2812

G12 = C(0)

Patent location:

Note:

Stereochemistry:

claim 3

additional interruptions of Ak in G3 also claimed all cyclohexylene and dioxanylene rings are trans

MSTR 1B

= carbon chain <containing 1 or more C> G3

(opt. substd. by 1 or more G4)

= OH / CO2H G4

G5 = p-C6H4

G7 = alkyl <containing 1-7 C>

(opt. substd. by 1 or more G8)

= 179-2 180-4 G9

1991 T880

= 191-179 194-4 G10

G11 = 251-2 252-180

281 2822

G12 = C(0)

Patent location:

Note: additional interruptions of Ak in G2 and G3 also

claimed

Notė: also incorporates structures Ial, Ia, Ib, Icl, Ic,

and Id from claim 9

claim 3

Stereochemistry: all cyclohexylene and dioxanylene rings are trans

MSTR 1C

G2 = carbon chain <containing 1 or more C> (opt. substd. by 1 or more G4)

= carbon chain <containing 1 or more C> G3

(opt. substd. by 1 or more G4)

= OH / CO2H G4

= 53-1 56-3 G5

G7 = alkyl <containing 1-7 C>

(opt. substd. by 1 or more G8)

G9 = 179-2 180-4

1691-1890

G10 = p-C6H4

G11 = 249-2 250-180

2932290

G12 = C(0)

Patent location:

claim 3

Note:

additional interruptions of Ak in G2 and G3 also

claimed

Note:

also incorporates structures Ie, Ie1, Ie2, Ie3,

Iaa, and Icc from claim 9

Stereochemistry:

all cyclohexylene and dioxanylene rings are trans

L31 ANSWER 13 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

130:325046 MARPAT Full-text

TITLE: INVENTOR(S): Preparation and pharmaceutical uses of phenylalkanols Roufogalis, Basil Don; Duke, Colin Charles; Tran, Van

Hoan

PATENT ASSIGNEE(S):

The University of Sydney, Australia

SOURCE:

PCT Int. Appl., 86 pp.

DOCUMENT TYPE:

CODEN: PIXXD2

DOCUMENT TIP

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT NO. KIND DATE APPLICATION NO. DATE																	
WO	9920	 589		 A	 1	 1999	0429		W	0 19:	 98-A1	 U870		1998:	1020		
	W:	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
		DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IS,	JP,	KE,
		KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,
		MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,
		TT,	UA,	UG,	US,	UZ,	VN,	YU,	ZW								
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	ŪĠ,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	ES,
		FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,
		CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG						
CA	2307	028		A	A	1999	0429		C	A 19	98-2	3070	28	1998	1020		
ΑU	9897	291		A	1	1999	0510		A	J 19	98-9	7291		1998	1020		
ΑU	7589	11		B	2	2003	0403										
EP	1056	700		Α	1	2000	1206		E	P 19	98-9	6713	5	1998	1020		
ΕP	1056	700		В	1	2006	0920										
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	FI,	CY													

NZ 503976 A 20050225 NZ 1998-503976 19981020 US 6518315 B1 20030211 US 2000-509829 20000623 PRIORITY APPLN. INFO.: AU 1997-9900 19971021 WO 1998-AU870 19981020

GI

Gingerol analogs R1R2C4H3WXCH(R4)YR3 [R1 = H, OH, NO2, alkoxy; R2 = OH, benzoyloxy, alkoxy, acyloxy; R3 = hydrocarbon radical; R4 = H, Me, OH, oxo; W = COCH2, CH=CH, CH2CO, CH(OH)CH2, C(CH3)(OH)CH2, CH2CH(OH), CH2C(CH3)OH, CO, CHOH, C(CH3)(OH), CH2, CH2CH2; X = CH(OH), C(CH3)(OH), CH2, CH(CH3) or CO; Y = CH(OH), C(CH3)(OH), CH2, CH(CH3) or CO] were prepared and formulated for use as platelet aggregation inhibitors and other pharmaceutical uses. Thus, (±)-[6]-gingerol (I) was prepared starting from vanillin and acetone. The prepared phenylalkanols were tested for a variety of biol. activities, such as Ca2+-ATPase activity, neurokinin-1 binding, lipoxygenase activity, and cyclooxygenase-2 activity.

MSTR 1

G1___G6___G9

G1 = Ph (substd. by (1-2) G2)

G2 = (up to 1) G4

G4 = OCOPh (opt. substd. by 1 or more G5)

G5 = alkyl <containing 1-3 C>

G6 = 4

Ç8<u></u>—0

G7 = OH

G8 = carbon chain <containing 4 or more C,

up to 1 double-exact bond, no triple bonds>

(opt. substd. by 1 or more G7)

Derivative: or pharmaceutically acceptable derivatives

Patent location: claim 1

Note: substitution is restricted

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 14 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

129:343328 MARPAT Full-text

TITLE:

Preparation of new benzyl- and (phenylethyl)amine

derivatives as medicaments

INVENTOR (S):

Anderskewitz, Ralf; Schromm, Kurt; Renth, Ernst-Otto;

Birke, Franz; Jennewein, Hans Michael; Meade,

Christopher John Montague

PATENT ASSIGNEE(S):

Boehringer Ingelheim Pharma K.-G., Germany

· SOURCE:

PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 1

				KIND DATE				APPLICATION NO. DATE									
	9849													1998	 0429		
	W:	AU,	BG,	BR,	BY	CA,	CN,	CZ,	EE,	HU,	ID,	IL,	JP,	KR,	KZ,	LT,	LV,
		MX,	NO,	NZ,	PL	RO,	RU,	SG,	SI,	SK,	TR,	UA,	US,	UΖ,	VN,	ΥU	
	RW:	ΑT,	BE,	CH,	CY	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,
•		PT,	SE														
CN	1204	315		Α		1999	0106		Cì	I 19	96-1	9895	9	1996	1211		
DE	1971	8334		A:	1.	1998	1105		DI	E 19	97-1	9718	334	1997	0430		
ZA	9803	523		Α		1998	1030		\mathbf{z}_{I}	A 19	98-3	523		1998	0428		
CA	2287	991		A	A	1998	1105		C <i>I</i>	A 19	98-2	2879	91	1998	0429		
AU	9877	600		A:	1	1998	1124		ΑU	J 19	98-7	7600		1998	0429		
EF	EP 980351			A.													
	9803					2004	0218										•
	R:	ΑT,	BE,	CH,	DE	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,															
JF	2001	5249	66	T	2	2001	1204		JI	2 19	98-5	4660	9	1998	0429		
ΑT	2597	77		E		2004	0315		ΑT	19	98-9	2550	0	1998	0429		
PT	9803	51		T		2004	0730		PT	19	98-9	2550	0	1998	0429		
ES	2214	711		T:	3	2004	0916		ES	3 19	98-9	2550	0	1998	0429		
MX	9909	960		Α		2000	0630		· M	(19	99-9	960		1999	1028		
US	6288	277		В:	1	2001	0911		US	3 20	00-4	2316	0	2000	0403		
PRIORIT	PRIORITY APPLN. INFO.:								DE	E 19	97-1	9718	334	1997	0430		
									WC	19	98-E	P253	0	1998	0429		
GI ·	•					•											

The title compds. [I; X, Y = O, NH, NMe2, CH2; R1, R2 = H, OH, F, Cl, Br, AB iodo, C1-6 alkyl, O(C1-6 alkyl), CF3; R3 = H, NH2, NHCOR5; R4 = H, CH2NH2, CH2NHCOR5; R5 = H, C1-6 alkyl, (un) substituted Ph, O(C1-6 alkyl); A = CR6R7, CO, SOx, O; R6 = H, C1-4 alkyl, CF3, etc.; R7 = H, C1-4 alkyl, etc.; B = C1-6 alkyl, Ph, naphthyl, thienyl, pyridyl, etc.; x = 0-2; with provisos] and their optical isomers, mixts. of enantiomers, racemates and salts with pharmaceutically acceptable acids, LTB4 antagonists useful for the therapy of arthritis, asthma, chronical lung diseases, , psoriasis, cystic fibrosis, Alzheimer's disease, etc., were prepared For example, dissolving 1.15 q 4-(H2NCH2CH2)C6H4OH in 15 mL MeOH, adding 1.5 g NaOMe (30% solution in MeOH), evaporating the mixture, adding the residue to a solution of 2.93 g 3-[4-(2phenylpropyl)phenoxymethyl]benzyl chloride in 25 mL MeCN, stirring the whole for 3 h at 60-70°, evaporating the solvents and treating the residue with alc. HCl gave 1 g II-HCl (m. 145°). Approx. 34 I were prepared and Ki values for approx. 32 I varying between 0.5 and 263 nM were given.

MSTR 1

```
G10_G2__G1__CH2_G4__CH2_G1__G5__G31
```

```
G1 = 0

G2 = phenylene (opt. substd. by (up to 1) G3)

G3 = alkyl <containing 1-6 C>
```

(opt. substd. by 1 or more G30)
G4 = phenylene (opt. substd. by (up to 1) G3)

G10 = 22

2G11-G12

G11 = alkylene <containing 1 or more C>
 (opt. substd. by 1 or more G24)

G24 = CO2H / OH

Derivative: and acid addition salts

Patent location: claim 1.

Note: substitution is restricted

Note: also incorporates claim 4, sturcture IV

Stereochemistry: and optical isomers, enantiomeric mixtures, or

racemates

MSTR 2

G10_G2_G1_CH2_G4__CH2_G5

```
G1 = O

G2 = phenylene (opt. substd. by (up to 1) G3)

G3 = alkyl <containing 1-6 C>
```

(opt. substd. by 1 or more G30)

= phenylene (opt. substd. by (up to 1) G3) G4

G10

2G11-G12

= alkylene <containing 1 or more C> G11

(opt. substd. by 1 or more G24)

= CO2H / OH G24

Patent location:

claim 3

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 15 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

127:307385 MARPAT Full-text

TITLE:

Fused imidazole derivatives as multidrug resistance

modulators

INVENTOR(S):

Janssens, Frans Eduard; Leenaerts, Joseph Elisabeth; Sommen, Francois Maria; Surleraux, Dominique Louis

Nestor Ghislaine

PATENT ASSIGNEE(S):

Janssen Pharmaceutica N.V., Belg.; Janssens, Frans Eduard; Leenaerts, Joseph Elisabeth; Sommen, Francois Maria; Surleraux, Dominique Louis Nestor Ghislaine

SOURCE:

PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND DATE	APPLICATION NO. DATE
WO 9734897	A1 19970925	WO 1997-EP1264 19970311
W: AL, AM,	AU, BB, BG, BR, CA,	CN, CU, CZ, EE, GE, HU, IL, IS, JP,
		MD, MG, MN, MX, NO, NZ, PL, RO, SG,
SI, SK,	TR, TT, UA, US, UZ,	VN, AZ, BY, KZ, RU, TJ, TM
RW: GH, KE,	LS, MW, SD, SZ, UG,	AT, BE, CH, DE, DK, ES, FI, FR, GB,
GR, IE,	IT, LU, MC, NL, PT,	SE, BF, BJ, CF, CG, CI, CM, GA, GN,
· ML, MR,	NE, SN, TD, TG	
TW 527186	B 20030411	TW 1997-86102623 19970305
CA 2237594 ·	AA 19970925	CA 1997-2237594 19970311
CA 2237594	C 20060530	
AU 9720269	A1 19971010	AU 1997-20269 19970311
AU 709683	B2 19990902	
EP 888352	A1 19990107	EP 1997-908226 19970311
EP 888352	B1 20030528	
R: AT, BE,	CH, DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL, SE, PT, IE,
	LV, FI, RO	
CN 1211985	A 19990324	CN 1997-192399 19970311
CN 1083453	B 20020424	
		BR 1997-8140 19970311
JP 2000505477	T2 20000509	JP 1997-533121 19970311
	B2 20050316	,
JP 2002012594	A2 20020115	JP 2001-167003 19970311
		IL 1997-124572 19970311
EE 3773	B1 20020617	EE 1998-281 19970311

AT 241626	E	20030615	ΑT	1997-908226	19970311
IL 143998	A1	20030624	IL	1997-143998	19970311
ES 2200159	Т3	20040301	ES	1997-908226	19970311
CZ 294060	В6	20040915	CZ	1998-1529	19970311
SK 284434	В6	20050401	SK	1998-662	19970311
ZA 9702351	Α	19980918	ZA	1997-2351	19970318
HR 970161	B1	20020630	HR	1997-970161	19970319
NO 9802124	. A	19980918	NO	1998-2124	19980511
NO 310659	B1	20010806			
US 6218381	B1	20010417	US	1998-142932	19980917
HK 1015769	A1	20030926	HK	1999-100695	19990220
US 6476018	B1	20021105	US	2001-775524	20010202
IL 143997	A1	20030212	.IL	2001-143997	20010626
US 2003087895	A1	20030508	US	2002-187665	20020702
JP 2004067701	A2	20040304	JP	2003-349802	20031008
PRIORITY APPLN. INF	O.:		EP	1996-200755	19960319
			IL	1997-124572	19970311
			JP	1997-533121	19970311
			WO	1997-EP1264	19970311
			US	1998-142932	19980917
			US	2001-775524	20010202

GI

$$Q-A^{2}-O \longrightarrow A^{1}-N \longrightarrow Z$$

$$R^{3} A \longrightarrow B$$

$$Z$$

$$R^{2} I$$

$$R^{2} I$$

$$R^{2} I$$

$$R^{3} A \longrightarrow B$$

$$R^{2} I$$

$$R^{2} I$$

$$R^{3} A \longrightarrow B$$

$$R^{4} \longrightarrow R^{4}$$

$$R^{2} \longrightarrow R^{4}$$

$$R^{4} \longrightarrow R^{4}$$

$$R^$$

The invention concerns compds. I and their N-oxide forms, pharmaceutically acceptable addition salts, and stereochem. isomeric forms [wherein the dotted line = optional pi bond; n = 1 or 2; R1 = H, halo, CHO, alkyl (optionally substituted with OH, alkoxy, alkylcarbonyloxy, imidazolyl, thiazolyl or oxazolyl), XCO2R5, XCONR6R7, or XCOR10; X = bond, alkanediyl, or alkenediyl; R5 = H, alkyl, Ar, Het, and alkyl substituted with alkoxy, Ar, or Het; R6, R7 = H or alkyl; R10 = imidazolyl, thiazolyl, or oxazolyl; R2 = H, halo, alkyl, hydroxyalkyl, alkoxycarbonyl, CO2H, CHO, or Ph; R3 = H, alkyl, or alkoxy; R4 = H, halo, alkyl, alkoxy, or haloalkyl; Z = CH2, CH2CH2, CH:CH, CH(OH)CH2, OCH2, COCH2, or C(:NOH)CH2; AB = bivalent radical; A1 = bond, (un)substituted alkanediyl, alkanediyloxyalkanediyl, CO, alkanediylcarbonyl, (un)substituted alkanediyloxy; A2 = bond or alkanediyl; Q = (un)substituted Ph, naphthalenyl, pyridinyl, or quinolinyl; Ar = (un)substituted Ph; Het = (un)substituted

furanyl, oxazolyl, or quinolinyl]. Also disclosed are processes for preparing I, formulations comprising them, and their use as medicines, particularly for inhibiting or reversing the effects of multidrug resistance (MDR). I are useful for combating MDR phenomena in both cancers and pathogens. Approx. 100 compds. I were prepared For instance, N-alkylation of 3-chloro-6,11-dihydro-11-(4-piperidinylidene)-5H-imidazo[2,1-b][3]benzazepine with 4-(2-quinolinylmethoxy)benzeneethanol mesylate ester (prepns. given) in refluxing EtOH in the presence of NaHCO3 gave 73% title compound II [R1 = Cl]. In a test against the adriamycin-resistant murine leukemia cell line P388/ADR in mice, adriamycin at 1.25 mg/kg plus II [R1 = CO2Me] at 0.63-20 mg/kg gave a 14-23% increase in mean survival time over adriamycin alone.

MSTR 1

$$7^{629}$$
 6^{27} 6^{19} 6^{11} 6^{19} 6^{11} 6^{12} 6^{11} 6^{12} 6^{12} 6^{13} 6^{14} 6^{14} 6^{14} 6^{14} 6^{14} 6^{14} 6^{14} 6^{14}

G14 = 8-9 7-6

G32—G23

G23 = 64-8 65-6

G26 = carbon chain <containing 1-6 C, saturated>

(opt. substd. by OH)

G27 = 73-7274-77

7928-79

G28 = alkylene <containing 1-6 C>

G29 = Ph (opt. substd. by (1-2) G30)

G30 = alkyl <containing 1-4 C>

(opt. substd. by 1 or more G16)

G32 = phenylene (opt. substd. by (1-2) G15)

Derivative: and N-oxide forms and pharmaceutically acceptable

salts

Patent location: claim 1

Note:

substitution is restricted

Stereochemistry:

or stereochemically isomeric forms

L31 ANSWER 16 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

126:89261 MARPAT Full-text

TITLE:

N-Benzylindol-3-ylbutanoic acid derivatives as

cyclooxygenase-2 inhibitors

INVENTOR(S):

Lau, Cheuk K.; Black, Cameron; Guay, Daniel; Gauthier, Jacques-Yves; Leblanc, Yves; Roy, Patrick; Ducharme,

Yves; Hamel, Pierre

PATENT ASSIGNEE(S):

Merck Frosst Canada Inc., Can.

SOURCE:

PCT Int. Appl., 145 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

I	PATENT NO.			KIND DATE				APPLICATION NO						DATE			
-									-								
V	WO 963	7467	•	A:	1	1996	1128		W	0 19	96-C	A324		1996	0521		
	W:	AL,	AM,	AU,	ΑZ,	BB,	BG,	BR,	BY,	CA,	CN,	CZ,	EE,	GE,	HU,	IS,	JP,
		KG,	KR,	ΚZ,	LK,	LR,	LT,	LV,	MD,	MG,	MK,	MN,	MX,	NO,	NZ,	PL,	RO,
		RU,	SG,	SI,	SK,	TJ,	TM,	TR,	TT,	UA,	US,	UZ,	VN,	AM,	ΑŻ,	BY,	KG,
	KZ, MD RW: KE, LS																
٠	RW	KE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,
		IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,
		MR,	NE,	SN,	TD,	TG											
Ţ	JS 5639	9780		Α		1997	0617		U	5 19	95-4	4583	В	1995	0522		
	CA 2219	9155		A	A	1996	1128		C	A 19	96-2	2191	55	1996	0521		
I	AU 9656	5830		A.	1	1996	1211		Αl	J 19	96-5	6830		1996	0521		
PRIORITY APPLN. INFO.:									US 1995-445838					19950522			
									W	19:	96-C	A324		1996	0521		
GI																	

I

II

The invention encompasses novel compds. I, useful in the treatment of AB cyclooxygenase-2 (COX-2) mediated diseases [wherein Q = OR, (un) substituted NH2; X = O, S; R = H, (halo)alkyl; R1 = OMe, OEt, CF3, halo, Me, Et, OCF3,OCH2F, OCHF2; R2-R7 = H, F, Cl, (halo)alkyl, cycloalkyl, CF3, OH or SH or derivs., (un) substituted Ph or CH2Ph; or R2R3, R4R5, R6R7 = oxo; or R2R3, R4R5, R6R7 form saturated monocycle with optional O atom; or R3R4, R3R6, R4R6 form saturated or aromatic monocycle; R8 = H, F, Cl, Br; R9 = Br, Cl, iodo, SMe, S(0) Me, SEt, SCF2H, SCF3]. The invention also encompasses pharmaceutical compns. comprising I for treatment of COX-2-mediated diseases, especially inflammatory diseases. For example, the cyclopropyl derivative OCHCR6R7CH2CN [R6R7 = CH2CH2] underwent a sequence of: (1) Wittig reaction with Ph3P:CHCOCH3, (2) hydrogenation of the formed double bond; (3) cyclization of the ketone function with N-(4-bromobenzyl)-N-(4-methoxyphenyl)hydrazine HCl to give an indole; (4) methanolysis of the nitrile; and (5) hydrolysis of the ester, to give title compound II, isolated as the Na salt (III). III was more potent than indomethacin and MK-555 in the rat paw edema test (p.o.). III was also much more selective for COX-2 vs. COX-1 than either standard drug, with an IC50 of >100,000 nM for COX-1 and only 16 nM for COX-2.

MSTR 1

$$G5$$
 $G27$
 $G27$
 $G27$
 $G27$
 $G27$
 $G27$
 $G27$
 $G27$
 $G27$
 $G27$

G1 = OH G4 = O

G9 = Ph (opt. substd. by (1-2) G29)

G13 = alkylene (opt. substd. by 1 or more G14) G14 = OH / 49 / Ph (opt. substd. by (1-2) G18)

4G15-G16

G15 = 0 G16 = 51

н₂ Ç----- G 9

G18 = 55

5G15—G16

G29 = Me

Patent location:

claim 1

L31 ANSWER 17 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

125:86331 MARPAT Full-text

TITLE:

Preparation of iodinated 1,3-diphenylureas as X-ray

ADDITCATION NO

contrast media

INVENTOR (S):

Rydbeck, Anna; Almen, Torsten; Thaning, Mikkel;

Andersson, Sven; Wistrand, Lars-Goeran; Golman, Klaes

PATENT ASSIGNEE(S):

Nycomed Imaging As, Norway PCT Int. Appl., 59 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

DATE

KIND

FAMILY ACC. NUM. COUNT:

	PATENT NO.				KIND DATE					APPLICATION NO.					DATE				
		9609:				 1	1006	-							1005				
		W :																	
															LT,				
			•	•	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	
			TJ,																
		RW:													GB,				
						PT,	SE,	BF,	ВJ,	CF,	CG,	.CI,	CM,	GΑ,	GN,	ML,	MR,	NE,	
			•	TD,															
		5958																	
	CA	2200	752		A														
	AU	9535	293		A	1	1996	0409		A.	U 19	95-3	5293		1995	0922			
	ΑU	7109	34		В	2	1999	0930											
	EP	7825	65		Α	1	1997	0709		E	P 19	95-9	3210	8	1995	0922			
	EP	7825	65		В	1	1999	0407											
	•	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	ΙT,	LI,	LU,	MC,	NL,	PT,	SE
	CN	1164	225		A		1997	1105		C	N 19	95-1	9632	7	1995	0922			
	HU	7717	0		Α	2	1998	0302		H	U 19	97-1	947		1995	0922			
	JP	1050	5856		T	2	1998	0609		J	P 19	96-5	1070	5	1995	0922			
	JP	3606	583		В	2	2005	0105											
	AΤ	1785	92		E		1999	0415		A'	T 19	95-9	3210	8	1995	0922			
	ES	2130	650		Т	3	1999	0701		E	S 19	95-9	3210	8	1995	0922			
	NO	9701	318		Α		1997	0811		N	0 19	97-1	318		1997	0320			
	FI	9701	200		Α		1997	0519		F	I 19	97-1	200		1997	0321			
	US	5958	376		Α		1999	0928		U	S 19	97-7	9392	7	1997	0627			
PRIC	RIT	APP	LN.	INFO	.:					G:	B 19	94-1	9206	•	1994	0923			
										W	0 19	95-G	B226	4	1995	0922			
GI																			

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; X = NHCONH, NHCO, O, CO; R = H, I, etc.], useful as low viscosity X-ray contrast media especially in angiog., were prepared Acetylation of isophthalamide II with Ac2O followed by reaction of the

intermediate III with COCl2 and hydrolysis of urea IV with NaOH/MeOH/H2O afforded I [X = NHCONH; R = 2,4,6-I3, 3,5-(HOCH2CH(OH)CH2NHCO)2].

MSTR 1

G1 = (1-3) G4 G2 = (1-3) G4G3 = 24-8 25-2

24(0)-29

G4 = 196

1912-G21-G20

G12 = alkylene <containing 1-8 C> (opt. substd. by G18)

G18 = OH / CO2H (opt. substd.)
Patent location: claim 1
Stereochemistry: and isomers

L31 ANSWER 18 OF 26 MARPAT COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 125:58532 MARPAT Full-text

TITLE: Preparation of catechol diethers as inhibitors of

tumor necrosis factor release.

INVENTOR(S): Cohan, Victoria L.; Duplantier, Allen J.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: Eur. Pat. Appl., 35 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		-		
EP 706795	A2	19960417	EP 1995-306159	19950904
EP 706795	A3	19971217		
R: AT, BE,	CH, DE	, DK, ES, FR,	GB, GR, IE, IT, LI	, LU, NL, PT, SE
TW 492862	В	20020701	TW 1995-84108419	19950812
IL 115311	A1	20000229	IL 1995-115311	19950914
CA 2158632	AA	19960322	CA 1995-2158632	19950919
CA 2158632	С	19980602		•

CN 1129102	Α	19960821	CN	1995-117355	19950919
AU 9531772	A1	19960404	ΑU	1995-31772	19950920
JP 08134073	A2	19960528	JP	1995-241698	19950920
ZA 9507925	Α	19970320	ZA	1995-7925	19950920
PRIORITY APPLN. INFO.:			US	1994-310171	19940921
GT					

Use of title compds. [I; R1 = Me, Et, F2CH, CF3; R2 = (substituted) alkyl, AB alkoxyalkyl, phenoxyalkyl, cycloalkyl, polycycloalkyl, phenylaminoalkyl; A, B = bond, (substituted) alkylene, alkenyl, phenylene; Y = bond, O, imino, S; Z = (substituted) imidazolyl, pyridyl, Ph, etc.; with provisos] for inhibiting production of TNF is claimed (no data). I are useful in the treatment or alleviation of inflammatory conditions, sepsis, septic shock, tuberculosis, graft vs. host disease, multiple sclerosis and other autoimmune diseases, and cachexia associated with AIDS or cancer. Thus, 3-exo-norbornyloxy-4methoxyacetophenone and glyoxylic acid were heated at 120° for 2.2 h. melt was cooled to 60° and treated with H2O, aqueous NH3, and N2H4 to give 49% 6-[3-(bicyclo[2,2,1]hept-2-yloxy)-4- methoxyphenyl]-3(2H)-pyridazinone.

MSTR 1A

G2 15

1^{G5}---G6

G5 = alkylene <containing 1-8 C> (opt. substd. by 1 or more G3)

= Ph (opt. substd. by 1 or more G10) G6

G10 = alkyl <containing 1-4 C> G13 = alkylene <containing 1-10 C>

(opt. substd. by (1-2) G15)

G15 = CO2H / OH Derivative:

and pharmaceutically acceptable salts

Patent location: claim 1

substitution is restricted Note:

Stereochemistry: racemic-diastereomeric mixtures and optical isomers L31 ANSWER 19 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

124:55567 MARPAT Full-text

TITLE:

Preparation of substituted benzene-derivative

endothelin inhibitors

INVENTOR(S):

Astles, Peter Charles; Harper, Mark Francis; Harris, Neil Victor; McLay, Ian McFarlane; Walsh, Roger John Aitchison; Lewis, Richard Alan; Smith, Christopher;

Porter, Barry; McCarthy, Clive

PATENT ASSIGNEE(S):

Rhone-Poulenc Rorer Ltd., UK PCT Int. Appl., 197 pp.

SOURCE: CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIND DATE				APPLICATION NO.					DATE					
										-									
1	WO	9513	262		A:	1	1995	0518		W	19	94 -G	B249	9	1994	1114			
		W:	AM,	ΑT,	AU,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	ES,	FI,	GB,	
			GE,	ΗŲ,	JP,	KΕ,	KG,	ΚP,	KR,	KZ,	LK,	LT,	LU,	LV,	, MD,	MG,	MN,	MW,	
			NL,	NO,	NZ,	ΡL,	PT,	RO,	RU,	SD,	SE,	SI,	SK,	TJ,	TT,	UA,	US,	UΖ,	VN
		RW:	KΕ,	MW,	SD,	SZ,	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,	LU,	
			MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	, ML,	MR,	ΝE,	SN,	
				TG															
+	CA	2176	363		A	Ą	1995	0518		C	A 19	94-2	1763	63	1994	1114			
	AU	9481	498		A:	1	1995	0529		A	J 19	94-8	1498		1994	1114			
	ZA	9409	035		Α		1996	0514		\mathbf{Z}_{i}	A 19	94 - 9	035		1994	1114			
	ΕP	7281	28		A:	1	1996	0828		E	P 19	95-9	0084	2	1994	1114			
	ΕP	7281	28		B	1	1998	0916											
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,	LI,	LU,	MC,	NL,	PT,	SE
															1994				
	AΤ	1711	58		Ε		1998	1015		A'	Г 19	95-9	0084	2	1994	1114			
	ES	2123	941		T	3	1999	0116		E	S 19	95-9	0084	2	1994	1114			
٠,	US	6211	234		B	1	2001	0403		U	S 19	97-6	4092	2	1997	0627			
PRIOR	ITY	APP	LN.	INFO	. :					G:	В 19	93-2	3382		1993	1112			
										G:	В 19	94 - 3	363		1994	0222			
										G	в 19	94-1	0750		1994	0527			
										W	O 19	94 - G	B249	9	1994	1114			

GI

AB The title compds. [I; R1 = H, (un) substituted hydroxyalkyl, carboxyalkyl, CN, NO2, (un) substituted alkoxy, etc.; R2 = arylalkoxy, heteroarylalkoxy, arylalkylthio, etc.; R3 = HO, alkoxy, aryloxy, etc.; R4 = (un)substituted alkyl or alkenyl; R5 = alkyl, alkenyl, halogen; m-p = 0, 1], useful as endothelin inhibitors (no data) for the treatment of diseases modulated by inhibiting endothelin (no data), are prepared Thus, Me 2-benzyloxy-4-(4chlorobenzyloxyl)benzoate was saponified, producing 2-benzyloxy-4-(4-chlorobenzyloxy)benzoic acid, m.p. 150-152°, in 44% yield.

MSTR 1

G28_G22_G1

G1 = alkyl <containing 1-4 C> (substd. by G2)

G2 = CO2H / OH

G22 = phenylene (opt. substd. by (1-3) G23)

G28 = 55

G37 5G29_CH__G30_G31

G29 · = 0

G37 = Ph (opt. substd. by 1 or more G38)

G38 = Me

Derivative: or pharmaceutically acceptable salts, N-oxides or

prodrugs

Patent location: claim 1

Note: substitution is restricted

L31 ANSWER 20 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 121:255405 MARPAT Full-text

TITLE: Catechol diethers as selective phosphodiesterase IV

inhibitors

INVENTOR(S): Duplantier, Allen J.; Eggler, James F.; Marfat,

Anthony; Masamune, Hiroko

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: PCT Int. Appl., 159 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND DATE	APPLICATION	NO. DATE
WO 9412461	A1 199406	09 WO 1993-US10	228 19931029
W: AU, 1	BR, CA, CZ, JP, K	R, NO, NZ, PL, RU, US	
RW: AT, 1	BE, CH, DE, DK, E	S, FR, GB, GR, IE, I	r, LU, MC, NL, PT, SE
CA 2150812	AA 199406	09 ĆA 1993-2150	0812 19931029
CA 2150812	C 200212	24	
CA 2400368	AA 199406	09 CA 1993-2400	368 19931029
AU 9455396	A1 199406	22 AU 1994-5539	96 19931029 、
AU 673569	B2 199611	14	
EP 672031	A1 199509	20 EP 1994-9003	390 19931029
EP 672031	B1 200303	12	
R: AT, 1	BE, CH, DE, DK, E	S, FR, GB, GR, IE, I	r, LI, LU, NL, PT, SE

JP 08	501318	T2	19960213	JP	1994-513129	19931029
JP 31	.00984	B2	20001023		•	
BR 93	07570	Α	19990525	BR	1993-7570	19931029
AT 23	4270	E	20030315	ΑT	1994-900390	19931029
PT 67	2031	T	20030630	PT	1994-900390	19931029
ES 21	.92192	Т3	20031001	ES	1994-900390	19931029
IL 10	7758	A1	19971120	IL	1993-107758	19931125
FI 93	05379	Α	19940603	FI	1993-5379	19931201
ZA 93	08978	Α	19950601	ZA	1993-8978	19931201
HU 65	928	A2	19940728	HU	1993-3423	19931202
CN 10	94028	Α	19941026	CN	1993-112776	19931202
NO 95	02178	Α	19950801	NO	1995-2178	19950601
US 58	14651	A	19980929.	US	1997-872686	19970610
PRIORITY A	APPLN. INFO.:			US	1992-984408	19921202
				CA	1993-2150812	19931029
				WO	1993-US10228	19931029
				US	1993-142328	19931126

GI

The title compds. [I; A, B = direct bond, (un) substituted C1-5 alkylene, (un) substituted alkenyl, (un) substituted phenylene; R1 = Me, Et, CF2H, CF3; R2 = C1-6 alkyl, alkoxyalkyl, phenoxyalkyl, cycloalkyl, etc.; Y = direct bond, O, NR6, S; R6 = H, C1-4 alkyl; Z = (un) substituted monocyclic or bicyclic heterocyclyl], which are inhibitors of phosphodiesterase IV (no data), useful in the treatment of inflammatory conditions (no data), etc., are prepared Thus, 3-(carbomethoxy) benzyltriphenylphosphonium bromide was reacted with 3-cyclopentyloxy-4-methoxybenzaldehyde in the presence of BuLi, producing Me 3-[2-[3-(cyclopentyloxy)-4- methoxyphenyl]ethenyl]benzoate (36% cis-isomer, 36% trans-isomer).

MSTR 1

```
G3 = alkyl <containing 1-8 C> (substd. by 1 or more G7)
```

G12 = CO2H / OH

Derivative:

and pharmaceutically acceptable salts

G6 = alkyl <containing 1-4 C>

G7 = (1) Ph (opt. substd. by 1 or more G6)

G11 = alkylene <containing 1-10 C> (opt. substd. by (1-2) G12)

Patent location:

claim 1

Note:

substitution is restricted

Stereochemistry:

racemic-diastereomeric mixtures and optical isomers

MARPAT COPYRIGHT 2006 ACS on STN L31 ANSWER 21 OF 26

ACCESSION NUMBER:

121:133976 MARPAT Full-text

TITLE:

Carboxylic Acid Derivatives and Their Uses as

Pharmaceuticals

INVENTOR (S):

Himmelsbach, Frank; Linz, Guenter; Austel, Volkhard;

Pieper, Helmut; Mueller, Thomas; Weisenberger,

Johannes; Guth, Brian

PATENT ASSIGNEE(S):

Thomae, Dr. Karl, G.m.b.H., Germany

SOURCE:

Ger. Offen., 24 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

German

PATENT INFORMATION:

PAT	ENT 1	10.		KIN	ID	DATE			AP	PLIC	CATI	ON	NO.	DATE			
		- -															
DE	42416	532		Al	L	1994	0616		DE	199	2-4	241	632	1992	1210		
CA	21110	035		A.	Ą	1994	0611		CA	199	93-2	111	035	1993	1208		
EP	60480	00		A1	L	1994	0706		EP	199	93-1	197	86	1993	1208		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, G	GR,	ΙE,	IT	, LI	, LU,	NL,	PT,	SE
FI	93055	513		Α		1994	0611		FI	199	3-5	513		1993	1209		
NO	93,045	501		Α		1994	0613		NO	199	93-4	50İ		1993	1209		
JP	06239	9817		A2	2	1994	0830		JP	199	3-3	084	19	1993	1209		
ZA	93092	230		Α		1995	0609		ZA	199	3-9	230		1993	1209		٠
AU-	93523	306		A1	L	1994	0623		AU	199	3-5	230	6	1993	1210		
CN	10940	35		Α		1994	1026		CN	199	3-1	208	76	1993	1210		
PRIORITY	APPI	LN. I	INFO.	:					DE	199	2-4	241	632	1992	1210		
GI																	

AB Pharmacol. active carboxylates were disclosed. A specifically claimed example compound, Me trans-4-[[4-(4-piperidinyl)phenyl]carbonylamino]cyclohe xanepropanoate (I) was prepared The claimed compds. are blood platelet aggregation inhibitors (antithrombotics).

G4—G8—G11—G16—G18—G——G1

G1 = OH

G8 = phenylene (opt. substd. by (1-2) G9)

G9 = Me

G11 = 166-2 167-4

H266 167

G16 = phenylene (opt. substd. by (1-2) G9)

G18 = alkylene (opt. substd. by G20)

G20 = OH

Derivative: and tautomers and salts

Patent location: claim 1

Note: additional ring formation specified

Note: also incorporates claim 10

Stereochemistry: and stereoisomers

L31 ANSWER 22 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 120:217715 MARPAT Full-text

TITLE: Quinazoline tyrosine kinase-inhibiting anticancer

agents

INVENTOR(S): Barker, Andrew J. PATENT ASSIGNEE(S): Zeneca Ltd., UK

SOURCE: Can. Pat. Appl., 99 pp.

CODEN: CPXXEB

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

				DATE		PLICATION NO	O. DATE	
CA	2086968 2086968		AA	19930721		1993-20869	68 19930108	
ZA	9300015		A	19930720	ZA	1993-15	19930104	
	9331010		A1		AU	1993-31010	19930104	
ΑU	661533		B2	19950727				
HU	63153		A2	19930728	HU	1993-94	19930115	
ΕP	566226		A1	19931020	EP	1993-30027	0 19930115	
ΕP	566226		B1	19951108				
	R: AT	, BE,	CH, D	E, DK, ES,	FR, GB, G	GR, IE, IT,	LI, LU, MC,	NL, PT, SE
	130000		E				0 19930115	
ES	2078798		Т3	19951216	ES	1993-30027	0 19930115	
	282038		В6			1993-43	19930118	
	9300178			19930721	NO	1993-178	19930119	
ИО	301541		B1	19971110				
	2127263		C1	19990310	RU	1993-4423	19930119	
SK	281551		В6	20010510	SK	1993-16	19930119	
FΙ	111631		B1	20030829	FI	1993-208	19930119	

IL 104479	A1	19991222	IL	1993-104479	19930121
JP 06073025	A2	19940315	JP	1993-26577	19930216
JP 2994165	B2	19991227			
US 5457105	Α	19951010	US	1994-284293	19940802
. US 5616582	Α	19970401	US	1995-490666	19950615
PRIORITY APPLN. INFO.	:		GB	1992-1095	19920120
			GB	1992-13572	19920626
			GB	1992-23735	19921112
		•	US	1993-5280	19930119
			US	1994-284293	19940802

GΙ

The title compds. I [R1 = HO, (un) substituted amino, carboxy, carbamoyl, ureido, etc.; R2 = H, HO, halogen, CF3, NH2, NO2, CN, (un) substituted C1-4 alkyl, etc.; m = 1-3; n = 1, 2], useful as tyrosine kinase-inhibiting anticancer agents (no data), are prepared and I-containing formulations presented. Thus, 4-chloro-6,7-dimethoxyquinazoline was condensed with 3-MeC6H4NH2, producing 6,7-dimethoxy-4-(3'-methylanilino)quinazoline hydrochloride, m.p. 248-249°.

MSTR 1

G1 = alkyl <containing 1-4 C> (substd. by G4) / 35

39----G7

```
G4 = OH / CO2H

G7 = alkyl <containing 1-4 C> (substd. by G8)

G8 = Ph (opt. substd. by (1-2) G15)

G15 = alkyl <containing 1-4 C>

G18 = 3
```

$$\bigcap_{G_1}^{G_1}$$

Derivative:

or pharmaceutically acceptable salts

Patent location:

claim 1

Note:

additional ring formation possible

Note:

substitution is restricted

MSTR 2

G16 G18

G1

= alkyl <containing 1-4 C> (substd. by G4) / 35

38----G7

G4 = OH / CO2H

G7 = alkyl <containing 1-4 C> (substd. by G8)

G8 = Ph (opt. substd. by (1-2) G15)

G15 = alkyl <containing 1-4 C>

G18 = 3

$$N \longrightarrow G1 G1 G1$$

Patent location:

claim 10

Note:

additional ring formation possible

Note:

substitution is restricted

L31 ANSWER 23 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

119:74522 MARPAT Full-text

TITLE:

Modification of fibrous materials with silanes for

good dyeability

INVENTOR(S):

Schrell, Andreas; Russ, Werner Hubert; Riehm, Thomas;

Vaahs, Tilo

PATENT ASSIGNEE(S):

Hoechst A.-G., Germany

SOURCE:

Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE		APPLICATION NO.	DATE
EP 513656	A1	19921119		EP 1992-107668	19920506
R: BE, CH,	DE, ES,	, FR, GB,	IT,	LI, PT	
DE 4210270	A1	19930930		DE 1992-4210270	19920328
CA 2068267	AA	19921112		CA 1992-2068267	19920508
JP 05171577	A2	19930709		JP 1992-116424	19920508
US 5403361	Α	19950404		US 1993-105472	19930812
PRIORITY APPLN. INFO	.:			DE 1991-4115461	19910511
				DE 1992-4208212	19920314
				DE 1992-4210270	19920328
				DE 1992-4210271	19920328
				US 1992-880508	19920508

Textile fibers (e.g., cotton, acrylic or polyester) modified by an amino AB group-containing silane such as H2NCH2CH2X(CH2)3Si(OMe)3 (X = O, NH) show good dyeability, especially with anionic dyes, in dye baths or pastes containing little or no alkali or electrolyte. Silanes containing a secondary amino group, e.g., MeNHCH2CH2O(CH2)3SiMe(OEt)2, are prepared and used as fiber modifiers.

MSTR 1B

$$G2 = 30-28 29-2$$

 $2^{\frac{6}{3}} - \frac{3}{3} + \frac{3}{3} = \frac{3}{3} +$

$$_{3}$$
G7 $_{\overline{3}}$ G8 $_{3}$ G9 $_{\overline{3}}$ G7 $_{\overline{3}}$ G7 $_{\overline{3}}$ G7 $_{\overline{4}}$ G10 $_{\overline{3}}$ G7 $_{\overline{4}}$ G12

G4 = 0 .

G5 = OH / CO2H

G6 · = Me

G7 = alkylene <containing 1-6 C> (opt. substd. by G5)

G9 = phenylene (opt. substd. by G6)

= bond G14

```
G18 = 49-28 \ 50-48 / 51-28 \ 52-48 / 53-28 \ 55-48 / 56-28 \ 58-48 

4^{67}-5^{619} 5^{620}-5^{67} 5^{67}-6^{21}-5^{67} 5^{622}-6^{7}-5^{6}
```

G19 = phenylene (opt. substd. by G6)
Patent location: claim 2

Note: substitution is restricted

L31 ANSWER 24 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 115:28892 MARPAT Full-text

TITLE: Preparation of phenylalkan(en)oic acids as leukotriene

B4 antagonists.

INVENTOR(S): Konno, Mitoshi; Nakae, Takahiko; Hamanaka, Nobuyuki

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 205 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

	TENT NO.					LICATION NO	D. DATE
							19900516
EP	405116	A:	3 1992	0415			
EP	405116	B	1 1995	1206		•	
							LU, NL, SE
CA	2019335	A	A 1990	1227	CA	1990-201933	35 19900507
CA	2019335	С	2000	0801			
JP	03261752	A:	2 1991	1121	JP	1990-123146	19900515
JР	07039369	B	1995	0501			•
					EP	1994-108324	19900516
	619296						
	R: AT,	BE, CH,	DE, DK,	ES, FR,	GB, G	R, IT, LI,	LU, NL, SE
EP	652208	A:	1995	0510	EP	1994-118144	19900516
EP	652208	B:	1998	0114			
	R: AT,	BE, CH,	DE, DK,	ES, FR,	GB, G	R, IT, LI,	LU, NL, SE
							19900516
ES	2083396	T	3 1996	0416	ES	1990-109294	19900516
AT	150006	E	1997	0315	AT.	1994-108324	19900516 19900516
ES	2102097	T	3 1997	0716	ES	1994-108324	19900516
AT	162181	E	1998	0115	AT	1994-118144	19900516
ES	2114117	T	3 1998	0516	ES	1994-118144	19900516
							19900517
KR	143404	B:	l 1998	0715	KR	1990-7107	19900518
US	5155104	A	1992	1013	US	1991-760043	3 19910913
US	5256686	A	1993	1026	UŞ	1992-921342	19920729
JP	06072947	A:	2 1994	0315	JP	1993-131187	7 19930507
JP	08019040	. В	1996	0228			
						1993-90456	
US	5795914	A	1998	0818	US	1995-462815	19950605
US	6001877	A	1999	1214	US	1998-81549	19980520
PRIORITY	APPLN.	INFO.:					19890627
							19891201
					JP	1990-1799	19900109

ΕP	1990-109294	19900516
US	1990-524521	19900517
US	1991-760043	19910913
US	1992-921342	19920729
US	1993-90456	19930713
US	1995-462815	19950605

GI For diagram(s), see printed CA Issue.

Title compds. I (A = NHCO, O, NHSO2, CO, CH2, CHOH; W = C1-13 alkylene, phenylene, C6H4CH2; R1 = H, C1-4 alkyl, HO2C, (unsatd.) 4-7-membered N-heterocyclyl, carbamoyl, HOCH2; AWR1 = Q1, Q2, Q3, etc.; Y = CH2CH2, CH:CH; D = hydroxyalkenylene, etc.), are prepared tert-Bu 3-[1-[6-(4-methoxyphenyl)hex-5(E)-enyl]oxy-4-(4-carboxybutanamido)benzen-2- yl]propionate (preparation starting from 2-hydroxy-5-nitrobenzaldehyde given) in THF/Et3N was treated with ClCO2Et at -10° and then with Me2NH to give the dimethylamide derivative which was hydrolyzed in HCO2H to give the title acid-amide E-II. II inhibited binding of 3H-LTB4 to human polymorphonuclear leukocyte LTB4 receptors with IC50 = 0.045 μM. A tablet formulation containing 3-[1-[6-(4-methoxyphenyl)hex-5(E)-enyl]oxy-3-(4-carboxybutyl)oxybenzen-2-yl]propionic acid is given.

MSTR 1A

$$10^{10}$$

$$G2 = 13 / 29$$

$$G3 = 154$$

G8 = OH G18 = 79

G19 = alkylene <containing 3-11 C>

G20 = alkyl <containing 1-8 C>

G28 = 20

₂წ (0)-G8 .

G29 = OH

Derivative: and non-toxic salts

Patent location: claim 1

L31 ANSWER 25 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 110:114449 MARPAT Full-text

TITLE: Preparation of (phenylmethoxy) benzenealkanoic acids

and analogs as leukotriene antagonists

INVENTOR(S): Dillard, Robert Delane; McCullough, Doris Elfriede;

Carr, Francis Patrick

PATENT ASSIGNEE(S): Eli Lilly and Co., USA SOURCE: Eur. Pat. Appl., 34 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PARTIE ACC. NOW. COOM!

PAT	TENT NO.		KIND	DATE	APPLICATION NO. DATE
EP	288190		A1	19881026	EP 1988-303179 19880408
ΕP	288190		B1	19920708	
	R: AT,	BE,	CH, DE	E, ES, FR,	GB, GR, IT, LI, LU, NL, SE
US	4874777		Α	19891017	US 1987-37284 19870410
CA	1327588		A1	19940308	CA 1988-563379 19880406
ΑU	8814334		A1	19881027	AU 1988-14334 19880407
ΑU	608311		B2	19910328	•
DK	8801883		Α	19890112	DK 1988-1883 19880407
ZA	8802420		Α	19891227	ZA 1988-2420 19880407
JP	63277642		A2	19881115	JP 1988-88029 19880408
CN	88101939		Α	19881214	CN 1988-101939 19880408
HU	54338		A2	19910228	HU 1988-1791 19880408
HU	203517		В	19910828	
SU	1731042		A3	19920430	SU 1988-4355477 19880408
ΑT	78023		E	19920715	AT 1988-303179 19880408

ES 2041791 T3 19931201 ES 1988-303179 19880408 PRIORITY APPLN. INFO.: US 1987-37284 19870410

EP 1988-303179 19880408

OTHER SOURCE(S):

CASREACT 110:114449

G1

$$R^{3}$$
 R^{7}
 R^{7}
 $R^{1}CO$
 R^{2}
 R^{2}
 R^{2}
 R^{3}
 R^{7}
 R^{2}
 R^{2}
 R^{3}
 R^{2}
 R^{2}
 R^{3}
 R^{2}
 $R^$

AΒ The title compds. [I; R1 = H, C1-6 alkyl, C3-8 cycloalkyl, phenyl-C1-3 alkyl, (un) substituted Ph; R2 = C1-10 alkyl, C2-6 alkenyl, PhCH2, PhCH2CH2; R3 = H, C1-3 alkyl, Br, C1, R2N; R = H, C1-3 alkyl; R4 = CO2H, C1-4 alkoxycarbonyl, cyano, tetrazol-5-yl, 1,2,5-thidiazol-3-yl, 2-thioxo-4-thiazolidinonyl; R5, R6 = H, C1-3 alkyl, Ph, PhCH2; R7, R8 = H, C1-3 alkyl, C1-3 alkoxy, OH, NH2, halo; A, A1, A2 = bond, C1-10 alkylene, C2-4 alkenylene, C5-10 cycloalkylene; Q = CO, CHOH; Y = CO, CHOH, O, bond; Z = O, RN, S(O)n; n = 0-2; the group AQA1Y may form a fused carbocyclic or heterocyclic ring with the benzene ring to which it is attached; A, A1, A2 may not simultaneously = bond; when $Y \neq 0$ bond, A1 ≠ bond] were prepared I (R4 ≠ cyano) are leukotriene antagonists, useful in treatment of allergic disorders such as asthma. PhOMe underwent Friedel-Crafts acylation with succinic acid to give 4-MeOC6H4COCH2CH2CO2H which was demethylated with 48% HBr and esterified to give 4-HOC6H4COCH2CH2CO2Et. The latter was etherified with 4'-(chloromethyl)-2'hydroxy-3'-propylacetophenone and the product was saponified to give title compound II. In isolated guinea pig ileum II gave 97% inhibition of leukotriene D4-induced contraction at 3 + 10-7 M. Tablets were prepared each containing 1-[4-[(4-acetyl-3-hydroxy-2- propyl)phenyl]methoxy]phenyl]-3,3dimethyl-1-butanone 250, microcryst. cellulose 400, fumed silica 10, and Mg stearate 5 mg.

MSTR 1E

G3 = carbon chain <containing 1-10 C, no triple bonds>

G4 = alkyl <containing 1-3 C>

G5 = C

G8 = phenylene (opt. substd.)

G10 = bond

G16 = carbon chain <containing 1-10 C, no triple bonds>

G20 = OH

Derivative: or a pharmaceutically acceptable salt

Patent location: claim 1

Note: substitution is restricted

L31 ANSWER 26 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

Patent

KIND DATE

ACCESSION NUMBER: 109:128570 MARPAT Full-text

TITLE: Preparation of pyrocatechol derivatives for treating

Parkinson's disease

INVENTOR(S): Backstrom, Reijo Johannes; Heinola, Kalevi Evert;

Honkanen, Erkki Juhani; Kaakkola, Seppo Kalevi; Kairisalo, Pekka Juhani; Linden, Inge Britt Yvonne; Mannistoe, Pekka Topias; Nissinen, Erkki Aarne Olavi;

ADDITION NO

שתעת

Pohto, Pentti; et al.

PATENT ASSIGNEE(S): Orion-Yhtyma Oy, Finland

SOURCE: Ger. Offen., 40 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 4

PAT	TENT NO.	KIND	DATE	API	PLICATION NO.	DATE
DE	3740383	A1	19880601	DE	1987-3740383	19871127
	3740383	C2	19970925			
	87108011	A	19880608	CN	1987-108011	19871126
	1040062	В	19981007			
DK	8706230	Α	19880529	DK	1987-6230	19871127
DK	175394	B1	20040920			
FI	8705229	Α	19880529	FI	1987-5229	19871127
FI	93350	В	19941215			
FI	93350	С	19950327			
SE	8704751	Α	19880529	SE	1987-4751	19871127
SE	503434	C2	19960617			
NO	8704966	Α	19880530	NO	1987-4966	19871127
NO	171450	В	19921207			
NO	171450	C	19930317			
ΑU	8781879	A1	19880602	AU	1987-81879	19871127
AU	621036	B2	19920305			
FR	2607493	A1	19880603	FR	1987-16457	19871127
FR	2607493	B1	19940812		•	
NL	8702857	Α	19880616	NL	1987-2857	19871127
NL	194821	В	20021202			
NL	194821	С	20030403			
JP	63150237	A2	19880622	JP	1987-301387	19871127
JP	2735834	B2	19980402			
JP	63170311	A2	19880714	JP	1987-301388	19871127
JP	08005781	B4	19960124			
GB	2200109	A1	19880727	GB	1987-27854	19871127
GB	2200109	B2	19910703			
	8708953	Α	19880727	ZA	1987-8953	19871127
	45473	A2	19880728	HU	1987-5352	19871127
	206073	В	19920828			
	2008359	A6	19890716		1987-3401	19871127
	4963590	Α	19901016		1987-126911	19871127
	152642	B1	19910131		1987-269091	19871127
PL	154006	B1	19910628	PL	1987-283185	19871127

CA	1289078		A1	19910917	CA	1987-552986	19871127
BE	1003279		A5	19920218	BE	1987-1356	19871127
CS	276263		B6	19920513	CS	1988-8439	19871127
CS	277018		B6	19921118	CS	1988-8440	19871127
. RU	2014319		C1	19940615	RU	1987-4203731	19871127
CA	1334967		A1	19950328	CA	1987-552987	19871127
CH	685436		Α	19950714	CH	1987-4633	19871127
AT	8703129		Α	19951015	AT	1987-3129	19871127
AT	401053	•	В.	19960625			
DD	281375	•	A5	19900808	DD	1987-309670	19871130
SU	1729291		A3	19920423	SU	1989-4613317	19890123
US	5112861		Α	19920512	US	1990-587791	19900925
SK	279658		B6	19990211	SK	1991-3130	19911015
HR	921250		B1	20000630	HR	1992-921250	19921112
US	5283352		Α.	19940201	US	1992-987245	19921207
ΓΛ	10236		В	19950620	ΓΛ	1993-805	19930630
LT	3770		В	19960325	LT	1993-915	19930831
US	5446194		Α	19950829	US	1993-121617 [.]	19930916
PRIORIT	Y APPLN.	INFO.:			FI	1986-4875	19861128
					GB	1987-12437	19870528
					US	1987-126911	19871127
					YU	1989-21	19890106
					US	1990-587791	19900925
					US	1991-792655	19911115
					US	1992-987245	19921207

GI

AB Title compds. I [R1,R2 = H, alkyl, (substituted) acyl, aroyl etc.; R1R2 = (cyclo)alkylidene; X = electroneg. substituent; R3 = H, halo, (substituted) alkyl, alkoxy, alkenyl, NO2, amino, amido etc.] are prepared for treating Parkinsonism. Condensation of 5.0 g 3,4-dihydroxy-5- nitrobenzaldehyde and 2.0 g cyclopentanone gave 78% 2,5-bis(3,4-dihydroxy-5- nitrobenzylidene)cyclopentanone which had IC50 of 3 nM as catechol-O-methyltransferase inhibitor in vitro.

MSTR 1A

$$G1$$
 $G4$
 $G4$
 $G4$

G1

G2 = 11

19(0)-G3

G3 = 50



G5 = alkyl <containing up to 20 C>

(opt. substd. by 1 or more G6)

G6 = OH / CO2H

Derivative: and physiologically acceptable salts

Patent location: claim 1

=> file wpix FILE 'WPIX' ENTERED AT 16:54:41 ON 31 OCT 2006 COPYRIGHT (C) 2006 THE THOMSON CORPORATION

FILE LAST UPDATED: 27 OCT 2006 <20061027/UP>
MOST RECENT THOMSON SCIENTIFIC UPDATE: 200669 <200669/DW>
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'BIX' IS DEFAULT SEARCH FIELD FOR 'WPIX' FILE

=> d que 138

L5 STR

Structure attributes must be viewed using STN Express query preparation.

L33 1 SEA FILE=WPIX SSS FUL L5

L34 1 SEA FILE=WPIX ABB=ON PLU=ON L33/DCR
L35 1 SEA FILE=WPIX ABB=ON PLU=ON RAFW5L/DCN
L38 1 SEA FILE=WPIX ABB=ON PLU=ON (L34 OR L35)

=> d all abeq tech 138 tot

L38 ANSWER 1 OF 1 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN

AN 2004-775514 [76] WPIX Full-text

DNC C2004-271517 [76]

TI New carboxylic acid derivatives, useful for treating e.g. diabetes and associated diseases such as atherosclerosis, obesity, hyperlipidemia, fatty liver disease, nephropathy, neuropathy, retinopathy and cataracts DC B05

IN HODGE K; HODGE K L; SHARMA S; VON BORSTEL R; VON BORSTEL R W; WOLPE S; WOLPE S D; BORSTEL R W V

PA (WELL-N) WELLSTAT THERAPEUTICS CORP; (HODG-I) HODGE K L; (SHAR-I) SHARMA S; (VBOR-I) VON BORSTEL R W; (WOLP-I) WOLPE S D

CYC 107

PI WO 2004091486 A2 20041028 (200476)* EN 47[0]

US 20060014784 A1 20060119 (200607) EN

NO 2005004791 A 20051220 (200612) NO

EP 1633340 A2 20060315 (200620) EN A61K031-19
BR 2004009469 A 20060418 (200628) PT A61K031-19

MX 2005011042 A1 20060101 (200637) ES A61K000-00000 AU 2004229418 A1 20041028 (200638) EN A61K031-19

KR 2005121262 A 20051226 (200652) KO A61K031-19 CN 1774244 A 20060517 (200663) ZH A61K031-185

JP 2006523696 W 20061019 (200669) JA 40

ADT WO 2004091486 A2 WO 2004-US10799 20040408; US 20060014784 A1 Provisional US 2003-462960P 20030415; AU 2004229418 A1 AU 2004-229418 20040408; BR 2004009469 A BR 2004-9469 20040408; CN 1774244 A CN 2004-80010105 20040408; EP 1633340 A2 EP 2004-759257 20040408; US 20060014784 A1 WO

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retinopathy, foot ulceration and cataracts associated with diabetes, in

mammals e.g. human (claimed).

AΒ

ADVANTAGE - The compounds are orally active therapeutic agents which effectively target the primary defects of insulin resistance and islet failure with fewer or milder side effects.

MC CPI: B07-H; B10-B03B; B10-E04C; B14-E12; B14-F02B; B14-F06; B14-F07; B14-F09; B14-J02; B14-N03; B14-N10; B14-N12; B14-N17; B14-S04

TECH

ORGANIC CHEMISTRY - Preparation: 5 Methods for preparations (I) are given, e.g. involving Mitsunobu condensation of phenol (disubstituted by R3 and C(0) CH3) (II) with alcohol of formula A(CH2) (t+n)-OH using triphenylphosphine and diethyl azodicarboxylate or diisopropyl azodicarboxylate in a solvent; transesterification of the resultant O-alkylated phenol of formula (III) with alkylating agent of formula Br-(CH2)p-C(0) OR4 in presence of molar equivalent of conventional base and inert solvent followed by reduction and optional ester hydrolysis to form (I') ((I): m = 2-4, q = 1, R2 = 1-3C alkyl, R3 = H, halo, 1-3C alkyl or 1-3C alkoxy and R1 = H or 1-2C alkyl).